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                 information from the epoline Register
                 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
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         JUL 28
NEWS 5
         JUL 28
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                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
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                 Support for STN Express, Versions 6.01 and earlier,
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         SEP 25
                 CA/CAplus current-awareness alert options enhanced
                 to accommodate supplemental CAS indexing of
                 exemplified prophetic substances
NEWS 13
         SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
                 and Korean patents enhanced
NEWS 14
         SEP 29
                 IFICLS enhanced with new super search field
NEWS 15
         SEP 29 EMBASE and EMBAL enhanced with new search and
                 display fields
NEWS 16
         SEP 30 CAS patent coverage enhanced to include exemplified
                 prophetic substances identified in new Japanese-
                 language patents
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         OCT 07 Multiple databases enhanced for more flexible patent
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         OCT 22 Current-awareness alert (SDI) setup and editing
                 enhanced
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         OCT 22
                 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                 Applications
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        OCT 24
                 CHEMLIST enhanced with intermediate list of
                 pre-registered REACH substances
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AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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L1STRUCTURE UPLOADED

SAMPLE SEARCH INITIATED 17:26:55 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 23379 TO ITERATE 8.6% PROCESSED 2000 ITERATIONS 5 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 458428 TO 476732 PROJECTED ANSWERS: 710 TO 1626

5 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 17:27:10 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 470260 TO ITERATE

98.7% PROCESSED 464013 ITERATIONS 728 ANSWERS

100.0% PROCESSED 470260 ITERATIONS

728 ANSWERS

SEARCH TIME: 00.00.20

L3 728 SEA SSS FUL L1

=> file hcaplus

SINCE FILE TOTAL ENTRY SESSION 181.12 181.33 COST IN U.S. DOLLARS FULL ESTIMATED COST

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=> s 13

L4 47 L3

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 2.69 184.02

FULL ESTIMATED COST

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L5 STRUCTURE UPLOADED

=> s 15

SAMPLE SEARCH INITIATED 17:32:02 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 23379 TO ITERATE

8.6% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

4 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 458428 TO 476732
PROJECTED ANSWERS: 525 TO 1345

L6 4 SEA SSS SAM L5

=> s 15 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 17:32:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 470260 TO ITERATE

98.6% PROCESSED 463875 ITERATIONS

700 ANSWERS

100.0% PROCESSED 470260 ITERATIONS

700 ANSWERS

SEARCH TIME: 00.00.20

L7 700 SEA SSS FUL L5

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(FILE 'HOME' ENTERED AT 17:23:26 ON 03 NOV 2008)

FILE 'REGISTRY' ENTERED AT 17:23:38 ON 03 NOV 2008

L1 STRUCTURE UPLOADED

L2 5 S L1

L3 728 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 17:27:38 ON 03 NOV 2008

L4 47 S L3

FILE 'REGISTRY' ENTERED AT 17:27:44 ON 03 NOV 2008

L5 STRUCTURE UPLOADED

L6 4 S L5

L7 700 S L5 FULL

=> s 17 not 13

L8 0 L7 NOT L3

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

366.06

182.04

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 17:33:10 ON 03 NOV 2008
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FILE COVERS 1907 - 3 Nov 2008 VOL 149 ISS 19 FILE LAST UPDATED: 2 Nov 2008 (20081102/ED)

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41 L7 L9

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L10 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:157810 HCAPLUS

DOCUMENT NUMBER: 136:217049

TITLE: Preparation of cyclic peptide derivatives as motilin

receptor antagonists

INVENTOR(S): Matsuoka, Hiroharu; Sato, Tsutomu PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.								APPLICATION NO.						DATE			
WO	2002	A1	_	20020228			WO 2001-JP7213						20010823					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	ΝZ,	PH,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
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EP	EP 1312612					A1 20030521				EP 2	001-	9584.	20010823					
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US	US 20030191053						2003	1009		US 2	003-	3625	20030224					
US	B2 20060328																	
PRIORITY APPLN. INFO.:										JP 2	000-	2539	50	2	A 2	0000	824	
										WO 2	001-	JP72	13	Ī	W 2	0010	823	
OTHER SO	OTHER SOURCE(S): GI					PAT	136:	2170	49									

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AΒ
     The title compds. I [T1 = (CH2)m; T2 = (CH2)n; R1 represents optionally
     substituted Ph, etc.; R2 represents amino, etc.; R3 to R6 each represents
     hydrogen, Me, etc.; V, W, X,Y, Z represent carbonyl or methylene; m is an
     integer of 0 to 2; and n is an integer of 0 to 3] are prepared In an in
     vitro test for motilin receptor antagonism,
     (2S-(2S,12S))-2-amino-N-(2-(3-tert-butyl-4-hydroxylphenylmethyl)-1,4,8-
     triaza-3,7,13-trioxocyclotridecan-12-yl)-3-(4-fluorophenyl)-N-
     methylpropionamide showed IC50 of 0.52 nM.
ΙT
     401896-11-5P 401896-12-6P 401896-13-7P
     401896-15-9P 401896-25-1P 401896-27-3P
     401896-29-5P 401896-30-8P 401896-32-0P
     401896-35-3P 401896-36-4P 401896-37-5P
     401896-39-7P 401896-41-1P 401896-42-2P
     401896-43-3P 401896-45-5P 401896-48-8P
     401896-49-9P 401896-50-2P 401896-52-4P
     401896-55-7P 401896-58-0P 401896-59-1P
     401896-60-4P 401896-61-5P 401896-62-6P
     401896-63-7P 401896-64-8P 401896-65-9P
     401896-68-2P 401896-69-3P 401896-70-6P
     401896-72-8P 401896-73-9P 401896-74-0P
     401896-76-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of cyclic peptide derivs. as motilin receptor antagonists)
RN
     401896-11-5 HCAPLUS
CN
     \beta-Alanine, N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-N6-
     [(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-,
     phenylmethyl ester (9CI) (CA INDEX NAME)
```

Ι

RN 401896-12-6 HCAPLUS

CN β -Alanine, N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-13-7 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 401896-15-9 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-Bu$$
 $t-Bu$
 $t-Bu$

RN 401896-25-1 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-N-methyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-27-3 HCAPLUS

CN Glycine, N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 401896-29-5 HCAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-30-8 HCAPLUS

CN Glycine, N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 401896-32-0 HCAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-Bu$$
 $t-Bu$
 $t-Bu$

RN 401896-35-3 HCAPLUS

CN β -Alanine, N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-N6- [(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-36-4 HCAPLUS

CN β -Alanine, N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 401896-37-5 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-39-7 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-N-methyl- (9CI) (CA INDEX NAME)

RN 401896-41-1 HCAPLUS

CN β -Alanine, N2-[(1,1-dimethylethoxy)carbonyl]-N2,N6-dimethyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-42-2 HCAPLUS

CN β -Alanine, N2,N6-dimethyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-43-3 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2,N6-dimethyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-45-5 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2,N6-dimethyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-48-8 HCAPLUS

CN L-Tyrosinamide, N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-N6- [(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

RN 401896-49-9 HCAPLUS

CN L-Tyrosinamide, N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-50-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

RN 401896-52-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-N-(3-carboxypropyl)-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-55-7 HCAPLUS

CN β -Alanine, N6-[(1,1-dimethylethoxy)carbonyl]-N2- [(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-58-0 HCAPLUS

CN L-Tyrosine, N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 401896-59-1 HCAPLUS

CN L-Tyrosine, N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-60-4 HCAPLUS

CN L-Tyrosine, N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-N6-[3-[[(phenylmethoxy)carbonyl]amino]propyl]-L-lysyl-3-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-61-5 HCAPLUS

CN L-Tyrosine, N6-acetyl-N2-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-N6-[3-[(phenylmethoxy)carbonyl]amino]propyl]-L-lysyl-3-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-62-6 HCAPLUS

CN L-Tyrosine, N6-acetyl-N2-methyl-N6-[3[[(phenylmethoxy)carbonyl]amino]propyl]-L-lysyl-3-(1,1-dimethylethyl)-,
methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-63-7 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N6-acetyl-N2-methyl-N6-[3-[[(phenylmethoxy)carbonyl]amino]propyl]-L-lysyl-3-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 401896-64-8 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N6-acetyl-N6-(3-aminopropyl)-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-65-9 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N6-acetyl-N2-methyl-N6-[3-[[(phenylmethoxy)carbonyl]amino]propyl]-L-lysyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 401896-68-2 HCAPLUS

CN L-Tyrosinamide, N2-[(1,1-dimethylethoxy)carbonyl]-N2,N5-dimethyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-3-(1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-69-3 HCAPLUS

CN L-Tyrosinamide, N2,N5-dimethyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-3- (1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

RN 401896-70-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2,N5-dimethyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-3-(1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-72-8 HCAPLUS

CN β -Alanine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(9H-fluoren-9-ylmethoxy)carbonyl]-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-73-9 HCAPLUS

CN β -Alanine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 401896-74-0 HCAPLUS

CN β -Alanine, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N6-[(1,1-dimethylethoxy)carbonyl]-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-76-2 HCAPLUS

CN β -Alanine, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:535162 HCAPLUS

DOCUMENT NUMBER: 133:150920

TITLE: Preparation of peptides or analogs containing

substituted phenethylamine moiety as motilin receptor

antagonists

INVENTOR(S): Matsuoka, Hiroharu; Sato, Tsutomu;

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PATENT INFORMATION:

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	W:	ΑE,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW	
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		DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ΒJ,	CF,
							GW,										
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ΕP	EP 1149843				A1		2001	1031		EP 2	000-	9019		20000128			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO										
HU	HU 2001005204				A2	20020429				HU 2	001-	5204	20000128				
HU 2001005204			А3	20020528													
JP 3715202			В2	20051109				JP 2	000-	5960.	20000128						
NO 2001003684			A	20010928				NO 2	001-	3684	20010726						

PRIORITY APPLN. INFO.: JP 1999-20523 A 19990128 JP 1999-283163 A 19991004

WO 2000-JP444 W 20000128

OTHER SOURCE(S): MARPAT 133:150920 GI

AΒ Substituted phenethylamine derivs. represented by general formula (I), hydrates of the same, or pharmaceutically acceptable salts thereof [wherein Cy is a group represented by general formula Q, an optionally substituted heterocyclic group, C3-7 cycloalkyl, or phenyl; R1, R1, R1, R1 and R5 are each hydrogen, halogeno, hydroxyl, amino, trifluoromethyl or cyano, at least one of R1-R5 being halogeno, trifluoromethyl or cyano; R6 represents hydrogen, (un) substituted linear or branched C1-3 alkyl, amino, or hydroxy; R8 represents hydrogen, Me, or ethyl; R9 represents (un) substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C3-7 cycloalkyl, or (un)substituted Ph; R20 represents hydrogen, or (un)substituted linear or branched C1-3 alkyl or R9 and R20 together forms C3-7 cycloalkyl; R10 represents hydrogen, (un)substituted linear or branched C1-3 alkyl; R11 represents hydrogen or (un)substituted linear or branched C1-3 alkyl, (un)substituted carbamoyl, or carboxy; R12 represents hydroxy or linear or branched C1-4 alkoxy; R13 represents hydrogen, (un) substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or alkynyl, etc.; X, Y represents carbonyl or CH2; provisos are given.], which exhibit motilin receptor antagonism and being useful as drugs for preventing digestive tract movement or high level of blood motilin. Thus, 3-methyl-2-methylaminobutyric acid

 $2-(3-\text{tert-butyl-}4-\text{hydroxyphenyl})-1-(2-\text{pyridylcarbamoyl}) \text{ ethylamide (preparation given) was condensed with Boc-Phe(4-F)-OH using CMPI in the presence of Et3N in THF under ice-cooling for 4 h followed by treatment of the product with CF3CO2H in CH2Cl2 gave <math display="block"> 2-((2-\text{amino-}3-(4-\text{fluorophenyl})\text{propanoyl})-N-\text{methylamino})-3-\text{methylbutyric acid }2-(3-\text{tert-butyl-}4-\text{hydroxyphenyl})-1-(2-\text{pyridylcarbamoyl}) \text{ ethylamide (II).} II and$

N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHEt showed IC50 of 0.35 and 0.17 nM, resp., for inhibiting binding of 125I-motilin to motilin receptor preparation from mucous membrane of rabbit duodenum.

TT 287205-81-6P 287205-82-7P 287205-83-8P 287205-84-9P 287205-85-0P 287205-87-2P 287205-88-3P 287205-89-4P 287205-90-7P 287205-91-8P 287205-92-9P 287205-93-0P 287205-94-1P 287205-95-2P 287205-96-3P 287205-97-4P 287205-98-5P 287205-99-6P 287206-07-9P 287206-08-0P 287206-09-1P 287206-10-4P 287206-11-5P 287206-12-6P

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     287212-55-9P 287212-56-0P 287212-57-1P
     287212-58-2P 287212-59-3P 287212-60-6P
     287212-61-7P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of peptides or analogs containing substituted phenethylamine
moiety
        as motilin receptor antagonists and drugs for preventing digestive
        tract movement or high level of blood motilin)
     287205-81-6 HCAPLUS
     L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-
     dimethylethyl)-N\alpha-methyl- (9CI) (CA INDEX NAME)
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RN

CN

Absolute stereochemistry.

RN 287205-82-7 HCAPLUS

CN L-Tyrosinamide, 4-chloro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-83-8 HCAPLUS

CN L-Tyrosinamide, 3,4-difluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287205-84-9 HCAPLUS

CN L-Tyrosinamide, 3-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-85-0 HCAPLUS

CN L-Tyrosinamide, 2-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287205-87-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-(methylsulfonyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 287205-86-1

CMF C30 H43 F N4 O6 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 287205-88-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-

dimethylethyl)-N-methoxy-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-89-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-90-7 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[(aminocarbonyl)amino]-1[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI)
(CA INDEX NAME)

RN 287205-91-8 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[(aminoiminomethyl)amino]1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 287205-92-9 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2[[(cyanoamino)(methylamino)methylene]amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-93-0 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[(aminosulfonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287205-94-1 HCAPLUS

CN Glycinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-L-tyrosyl- ψ (CH2-NH)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-95-2 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[(methylsulfonyl)amino]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-96-3 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-3-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-oxopropyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-97-4 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-98-5 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-99-6 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-07-9 HCAPLUS

CN L-Tyrosinamide, 2-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-08-0 HCAPLUS

CN L-Tyrosinamide, 3-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-09-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-10-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287206-11-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-12-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-13-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-14-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-15-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-16-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-17-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287206-18-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-19-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-20-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287206-21-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-22-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-23-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-24-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-25-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287206-26-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-27-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-28-4 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-29-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-30-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287206-31-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-32-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-33-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-34-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-35-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287206-36-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-37-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287206-38-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-39-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-40-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287206-41-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-42-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287206-43-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-44-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-N,3-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-45-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

RN 287206-46-6 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-47-7 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-49-9 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287206-50-2 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-51-3 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-52-4 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N,3-dimethyl-, (2S)-(CA INDEX NAME)

RN 287206-53-5 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N, 3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-55-7 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-56-8 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)-(CA INDEX NAME)

RN 287206-58-0 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-59-1 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-60-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-62-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287206-63-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-64-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-65-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-66-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-67-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

RN 287206-68-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-69-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-70-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287206-71-7 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-72-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-73-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-74-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-75-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -diethyl- (9CI) (CA INDEX NAME)

RN 287206-76-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-77-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

RN 287206-78-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-79-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-80-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-N-cyclopropyl-3- (1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-81-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-

dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-82-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-83-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-84-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-85-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-86-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-87-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 287206-88-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-89-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-90-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 287206-91-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-92-2 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-93-3 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(methylsulfonyl)-1-piperazinyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

RN 287206-94-4 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-95-5 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[4-(carboxymethyl)-1-piperazinyl]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

RN 287206-96-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-97-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2S)-2-(methylamino)butanoyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-98-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2R)-2-(methylamino)butanoyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-99-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-00-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-01-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-02-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-03-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-04-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-05-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-L-norvalyl- $3-(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

RN 287207-06-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-D-norvalyl- $3-(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-07-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,4-dimethyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-08-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,4-dimethyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-09-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2S)-4,4,4-trifluoro-2- (methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-12-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-L-alanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

RN 287207-13-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-D-alanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-14-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-15-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-16-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-L-phenylalanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-17-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-D-phenylalanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

RN 287207-18-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-chloro-N-methyl-L-phenylalanyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-19-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-chloro-N-methyl-D-phenylalanyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{NH}_2 \\ \text{NH}_2 \\ \end{array}$$

RN 287207-20-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-21-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-22-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-L-alanyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-23-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-D-alanyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-24-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-3-cyclopropyl-N-methyl-L-alanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-26-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N, α -dimethyl-L-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287207-27-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N, α -dimethyl-D-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-28-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,2-dimethyl-L-leucyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-29-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287207-30-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,3-dimethyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-33-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-34-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-36-7 HCAPLUS

CN L-Tyrosinamide, 3-(2-fluoro-4-pyridinyl)-L-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-37-8 HCAPLUS

CN L-Tyrosinamide, 3-(6-fluoro-3-pyridinyl)-L-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-38-9 HCAPLUS

CN L-Tyrosinamide, 4-(trifluoromethyl)-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-39-0 HCAPLUS

CN L-Tyrosinamide, N-[(2R)-3-(4-fluorophenyl)-2-(hydroxymethyl)-1-oxopropyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-40-3 HCAPLUS

CN L-Tyrosinamide, 3-(4-pyridinyl)-L-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-41-4 HCAPLUS

CN L-Tyrosinamide, 4-cyano-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-42-5 HCAPLUS

CN L-Tyrosinamide, L-tryptophyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287209-74-9 HCAPLUS

CN Butanamide, 2-[[(2R)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-77-2 HCAPLUS

CN Butanamide, 2-[[(2R)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)-(CA INDEX NAME)

Absolute stereochemistry.

RN 287211-41-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2R)-4,4,4-trifluoro-2- (methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-48-0 HCAPLUS

CN L-Tyrosinamide, 3-(2-fluoro-4-pyridinyl)-D-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-51-5 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-3-(4-fluorophenyl)-2-(hydroxymethyl)-1-oxopropyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287212-55-9 HCAPLUS

CN Tyrosinamide, 4-fluorophenylalanyl-N-methylvalyl-3-(1,1-dimethylethyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 287212-56-0 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[(aminocarbonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-57-1 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[(aminosulfonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-58-2 HCAPLUS

CN Valinamide, 4-fluoro-L-phenylalanyl-N-[1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[(methylsulfonyl)amino]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-59-3 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[3-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-oxopropyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-60-6 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-61-7 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

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     287209-48-7P 287209-49-8P 287209-50-1P
     287209-51-2P 287209-52-3P 287209-53-4P
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     287209-62-5P 287209-63-6P 287209-64-7P
     287209-65-8P 287209-66-9P 287209-67-0P
     287209-68-1P 287209-71-6P 287209-72-7P
     287209-73-8P 287209-75-0P 287209-76-1P
     287209-80-7P 287209-81-8P 287209-82-9P
     287209-83-0P 287209-84-1P 287209-85-2P
     287209-86-3P 287210-07-5P 287210-08-6P
     287210-09-7P 287210-10-0P 287210-11-1P
     287210-12-2P 287210-13-3P 287210-14-4P
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     287210-18-8P 287210-19-9P 287210-20-2P
     287210-21-3P 287210-22-4P 287210-23-5P
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     287210-33-7P 287210-34-8P 287210-35-9P
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     287210-48-4P 287210-49-5P 287210-50-8P
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     287210-57-5P 287210-58-6P 287210-59-7P
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     287210-63-3P 287210-64-4P 287210-65-5P
     287210-66-6P 287210-67-7P 287210-68-8P
     287210-69-9P 287210-70-2P 287210-71-3P
     287210-72-4P 287210-73-5P 287210-74-6P
     287210-75-7P 287210-76-8P 287210-79-1P
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     287211-03-4P 287211-04-5P 287211-05-6P
     287211-06-7P 287211-07-8P 287211-08-9P
     287211-09-0P 287211-10-3P 287211-11-4P
     287211-12-5P 287211-13-6P 287211-14-7P
     287211-15-8P 287211-16-9P 287211-17-0P
     287211-18-1P 287211-19-2P 287211-21-6P
     287211-22-7P 287211-23-8P 287211-24-9P
     287211-25-0P 287211-26-1P 287211-27-2P
     287211-28-3P 287211-29-4P 287211-30-7P
     287211-31-8P 287211-32-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of peptides or analogs containing substituted phenethylamine
moiety
        as motilin receptor antagonists and drugs for preventing digestive
        tract movement or high level of blood motilin)
     220808-01-5 HCAPLUS
     L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-
     dimethylethyl) - (9CI) (CA INDEX NAME)
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RN

CN

Absolute stereochemistry.

RN 220808-37-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-45-7 HCAPLUS

CN L-Tyrosinamide, L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-87-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-88-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-47-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-48-1 HCAPLUS

CN L-Tyrosinamide, 4-chloro-N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-49-2 HCAPLUS

CN L-Tyrosinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3,4-difluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-50-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-51-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-2-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-53-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-55-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 287207-56-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-59-4 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-methoxy-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-60-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methoxy-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-61-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methoxy-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-64-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-65-2 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-2-pyridinyl-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-66-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-70-9 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-2-[(aminocarbonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]amino]carbonyl]-2-methylpropyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 287207-72-1 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N[(1S)-2-[(aminocarbonyl)amino]-1-[[3-(1,1-dimethylethyl)-4hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-73-2 HCAPLUS

CN Butanamide, N-[(1S)-2-[(aminocarbonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-methyl-2-(methylamino)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-75-4 HCAPLUS

CN 10-0xa-2,5,8-triazadodecanoic acid,

6-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2,11,11-trimethyl-3-(1-methylethyl)-4,9-dioxo-, phenylmethyl ester, (3S,6S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-78-7 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-79-8 HCAPLUS

CN Carbamic acid, [(2S)-3-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-2-[[(2S)-3-methyl-2-(methylamino)-1-oxobutyl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 287207-81-2 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-82-3 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[(aminoiminomethyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287207-84-5 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[[(cyanoamino)(methylamino)methylene]amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-86-7 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[(aminosulfonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-88-9 HCAPLUS

CN Glycine, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-Lvalyl-3-(1,1-dimethylethyl)-L-tyrosyl-ψ(CH2-NH)-, ethyl ester (9CI)
(CA INDEX NAME)

RN 287207-90-3 HCAPLUS

CN Glycinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-L-tyrosyl- ψ (CH2-NH)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-95-8 HCAPLUS

CN 9-Thia-2,5,8-triazadecanoic acid, 6-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-methyl-3-(1-methylethyl)-4-oxo-, phenylmethyl ester, 9,9-dioxide, (3S,6S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-98-1 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-

1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[(methylsulfonyl)amino]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-05-3 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-3-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-oxopropyl]amino]carbonyl]-2-methylpropyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-06-4 HCAPLUS

CN Benzenebutanamide, $3-(1,1-\text{dimethylethyl})-4-\text{hydroxy}-\beta-[[(2S)-3-\text{methyl-}2-(\text{methylamino})-1-\text{oxobutyl}]amino]-, (β)- (CA INDEX NAME)$

RN 287208-07-5 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-3-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-oxopropyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-12-2 HCAPLUS

CN Carbamic acid, [(1S)-1-[[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]amino]carbonyl]-2-methylpropyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-13-3 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287208-15-5 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]amino]carbonyl]-2-methylpropyl]methyl-, phenylmethylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-16-6 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N- [(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-17-7 HCAPLUS

CN Butanamide, N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-3-methyl-2-(methylamino)-, (2S)- (CA INDEX NAME)

RN 287208-18-8 HCAPLUS

CN Carbamic acid, [(1S)-1-[[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]amino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-20-2 HCAPLUS

CN 11-Thia-2,5,8-triazadodecanoic acid, 9-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-[(4-fluorophenyl)methyl]-6-(1-methylethyl)-7-oxo-, 1,1-dimethylethyl ester, 11,11-dioxide, (3S,6S,9S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-21-3 HCAPLUS

CN Butanamide, 2-amino-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-45-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-74-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-2-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287208-75-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-93-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

$$H_2N$$
 O O Me Me $I-Pr$ O Me $I-Pr$ O

RN 287208-94-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-95-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287208-96-2 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-97-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287208-98-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-99-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-00-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287209-01-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-02-3 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

RN 287209-03-4 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-04-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287209-05-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-06-7 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-07-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287209-08-9 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-09-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-10-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 287209-11-4 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 O O Me S N S

RN 287209-12-5 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-13-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-14-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-15-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-16-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-17-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287209-18-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-19-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287209-20-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-21-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 O O Et S N S N

RN 287209-22-7 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-23-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-24-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-25-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-26-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-27-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287209-28-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-29-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-30-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-

phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-31-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 O O Et S N S N

RN 287209-32-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287209-33-0 HCAPLUS CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-34-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287209-35-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-36-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

RN 287209-37-4 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-38-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-39-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287209-40-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-41-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

$$H_2N$$
 O Ph O Et S N S

RN 287209-42-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-43-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-44-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-45-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-46-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl-(9CI) (CA INDEX NAME)

RN 287209-47-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-N,3-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-48-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-N,3-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-49-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-N,3-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-50-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-51-2 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

RN 287209-52-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-53-4 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-54-5 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-55-6 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-58-9 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287209-59-0 HCAPLUS

CN L-Tyrosinamide, L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-60-3 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-61-4 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]amino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 287209-62-5 HCAPLUS

CN Butanamide, 2-amino-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-63-6 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]amino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-64-7 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]amino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 287209-65-8 HCAPLUS

CN Carbamic acid, [(1S)-1-[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]methylamino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-66-9 HCAPLUS

CN Butanamide, 2-amino-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N, <math>3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-67-0 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]methylamino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 287209-68-1 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]methylamino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-71-6 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-72-7 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-73-8 HCAPLUS

CN Carbamic acid, [(1R)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-75-0 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-76-1 HCAPLUS

CN Carbamic acid, [(1R)-2-[[(1S)-1-[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]methylamino]-1-

[(4-fluorophenyl)methyl]=thyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-80-7 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]methylamino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-81-8 HCAPLUS

CN Butanamide, 2-amino-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N, <math>3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-82-9 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]methylamino]carbonyl]-2-

methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-83-0 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[(1,1-dimethylethoxy)carbonyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-84-1 HCAPLUS

CN L-Tyrosinamide, L-valyl-N-[(1,1-dimethylethoxy)carbonyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287209-85-2 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-L-valyl-N-[(1,1-dimethylethoxy)carbonyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-86-3 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-N-[(1,1-dimethylethoxy)carbonyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-07-5 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287210-08-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-09-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-10-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-11-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-(9CI) (CAINDEX NAME)

Absolute stereochemistry.

RN 287210-12-2 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287210-13-3 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-14-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287210-15-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-16-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-17-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

RN 287210-18-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-19-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

RN 287210-20-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-21-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-22-4 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287210-23-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-24-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287210-25-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-26-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-27-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287210-28-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-29-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287210-30-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-31-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl-(9CI) (CA INDEX NAME)

RN 287210-32-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-33-7 HCAPLUS

CN L-Tyrosinamide, N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-34-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

RN 287210-35-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-36-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

RN 287210-37-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-38-2 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-39-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-<math>(1,1-dimethylethyl)-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-40-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-41-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 287210-42-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-43-9 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-N-cyclopropyl- 3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287210-44-0 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-N-cyclopropyl-3-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-45-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-N-cyclopropyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-46-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 287210-47-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-48-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-49-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

RN 287210-50-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-51-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

RN 287210-52-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-53-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-54-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287210-55-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-56-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287210-57-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-58-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-59-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 287210-60-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-61-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-62-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-63-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-64-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-65-5 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-66-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-67-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-Nmethyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-Nα-methyl(9CI) (CA INDEX NAME)

RN 287210-68-8 HCAPLUS

CN Carbamic acid, [(1S)-1-[[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]methylamino]carbonyl]-2-methylpropyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-69-9 HCAPLUS

CN Butanamide, N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]-N,3-dimethyl-2-(methylamino)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-70-2 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N- [(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

RN 287210-71-3 HCAPLUS

CN Carbamic acid, [(1S)-1-[[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(methylsulfonyl)-1-piperazinyl]-2-oxoethyl]methylamino]carbonyl]-2-methylpropyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-72-4 HCAPLUS

CN Butanamide, N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(methylsulfonyl)-1-piperazinyl]-2-oxoethyl]-N,3-dimethyl-2-(methylamino)-, (2S)- (9CI) (CA INDEX NAME)

RN 287210-73-5 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4(methylsulfonyl)-1-piperazinyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 287210-74-6 HCAPLUS

CN 1-Piperazineacetic acid, 4-[N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-methyl-L-tyrosyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 287210-75-7 HCAPLUS

CN 1-Piperazineacetic acid, 4-[N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl-L-tyrosyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-76-8 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N- [(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

RN 287210-79-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-00-1 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-oxoethyl]methylamino]carbonyl]propyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 287211-01-2 HCAPLUS

CN Benzenepropanamide, 3-(1,1-dimethylethyl)-4-hydroxy- α -[methyl[(2S)-2-(methylamino)-1-oxobutyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-02-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl- (2S)-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-03-4 HCAPLUS

CN Carbamic acid, [(1R)-1-[[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-oxoethyl]methylamino]carbonyl]propyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-04-5 HCAPLUS

CN Benzenepropanamide, $3-(1,1-\text{dimethylethyl})-4-\text{hydroxy}-\alpha-[\text{methyl}[(2R)-2-(\text{methylamino})-1-\text{oxobutyl}]\text{amino}]-, (\alpha S)-(CA INDEX NAME)$

Absolute stereochemistry.

RN 287211-05-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-(2R)-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-06-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-07-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-norvalyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-08-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 O O Me NH S N S

RN 287211-09-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-D-norvalyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-10-3 HCAPLUS

CN L-Tyrosinamide, N-methyl-D-norvalyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-11-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-D-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-12-5 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-13-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-14-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-15-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-D-isoleucyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

RN 287211-16-9 HCAPLUS

CN L-Tyrosinamide, N-methyl-D-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-17-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-18-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-19-2 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-21-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-22-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-23-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-24-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-25-0 HCAPLUS

CN L-Tyrosinamide, 4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-26-1 HCAPLUS

CN L-Tyrosinamide, 4,5-didehydro-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-27-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-28-3 HCAPLUS

CN L-Tyrosinamide, 4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-N-methylnorvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-29-4 HCAPLUS

CN L-Tyrosinamide, 4,5-didehydro-N-methylnorvalyl-3-(1,1-dimethylethyl)- N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-30-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-D-norvalyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-31-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N,4-dimethyl-L-leucyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-32-9 HCAPLUS

CN L-Tyrosinamide, N,4-dimethyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

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ΙT
     287211-33-0P 287211-34-1P 287211-35-2P
     287211-36-3P 287211-37-4P 287211-38-5P
     287211-39-6P 287211-40-9P 287211-42-1P
     287211-49-8P 287211-50-1P 287211-51-2P
     287211-52-3P 287211-53-4P 287211-54-5P
     287211-55-6P 287211-56-7P 287211-57-8P
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     287211-61-4P 287211-62-5P 287211-63-6P
     287211-66-9P 287211-69-2P 287211-72-7P
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     287211-78-3P 287211-79-4P 287211-80-7P
     287211-81-8P 287211-82-9P 287211-83-0P
     287211-84-1P 287211-85-2P 287211-86-3P
     287211-87-4P 287211-88-5P 287211-89-6P
     287211-90-9P 287211-91-0P 287211-92-1P
     287211-93-2P 287211-94-3P 287211-95-4P
     287212-02-6P 287212-03-7P 287212-04-8P
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

 $\hbox{ (preparation of peptides or analogs containing substituted phenethylamine moiety}$

as motilin receptor antagonists and drugs for preventing digestive tract movement or high level of blood motilin)

RN 287211-33-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,4-dimethyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-34-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N,4-dimethyl-D-leucyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-35-2 HCAPLUS

CN L-Tyrosinamide, N, 4-dimethyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-36-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,4-dimethyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-37-4 HCAPLUS

CN Carbamic acid, [1-[[[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-oxoethyl]methylamino]carbonyl]-3,3,3-trifluoropropyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-38-5 HCAPLUS

CN Benzenepropanamide, 3-(1,1-dimethylethyl)-4-hydroxy- α -[methyl[(2S)-4,4,4-trifluoro-2-(methylamino)-1-oxobutyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-39-6 HCAPLUS

CN Benzenepropanamide, 3-(1,1-dimethylethyl)-4-hydroxy- α -[methyl[(2R)-4,4,4-trifluoro-2-(methylamino)-1-oxobutyl]amino]-, (α S)- (CA INDEX NAME)

RN 287211-40-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-(2S)-4,4,4-trifluoro-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-42-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-(2R)- 4,4,4-trifluoro-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α - methyl- (9CI) (CA INDEX NAME)

RN 287211-49-8 HCAPLUS

CN L-Tyrosinamide, 3-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-50-1 HCAPLUS

CN L-Tyrosinamide, 3-cyclohexyl-N-methyl-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-51-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-52-3 HCAPLUS

CN L-Tyrosinamide, 3-cyclohexyl-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-D-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-53-4 HCAPLUS

CN L-Tyrosinamide, 3-cyclohexyl-N-methyl-D-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-54-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-D-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-55-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-phenylalanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-56-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-57-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-58-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-D-phenylalanyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-59-0 HCAPLUS

CN L-Tyrosinamide, N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-60-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-61-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-62-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-63-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-66-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-69-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-72-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-74-9 HCAPLUS

CN L-Tyrosinamide, 4-chloro-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\$$

RN 287211-76-1 HCAPLUS

CN L-Tyrosinamide, 4-chloro-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-77-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-chloro-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-78-3 HCAPLUS

CN L-Tyrosinamide, 4-chloro-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 287211-79-4 HCAPLUS

CN L-Tyrosinamide, 4-chloro-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-80-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-chloro-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-81-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 287211-82-9 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-83-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-84-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-D-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-85-2 HCAPLUS

CN L-Tyrosinamide, N-methyl-D-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-86-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-D-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-87-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-3-(2-thienyl)-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-88-5 HCAPLUS

CN L-Tyrosinamide, N-methyl-3-(2-thienyl)-L-alanyl-3-(1,1-dimethylethyl)- N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-89-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-90-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-3-(2-thienyl)-D- alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-91-0 HCAPLUS

CN L-Tyrosinamide, N-methyl-3-(2-thienyl)-D-alanyl-3-(1,1-dimethylethyl)- $N\alpha$ -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-92-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-D-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-93-2 HCAPLUS

CN L-Tyrosinamide, 3-cyclopropyl-N-methyl-N-[(phenylmethoxy)carbonyl]-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-94-3 HCAPLUS

CN L-Tyrosinamide, 3-cyclopropyl-N-methyl-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-95-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-3-cyclopropyl-N-methyl-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-02-6 HCAPLUS

CN L-Tyrosinamide, N, α -dimethyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-03-7 HCAPLUS

CN L-Tyrosinamide, N, α -dimethyl-L-phenylalanyl-3-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 287212-04-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N, α -dimethyl-L-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-05-9 HCAPLUS

CN L-Tyrosinamide, N, α -dimethyl-N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287212-06-0 HCAPLUS

CN L-Tyrosinamide, N, α -dimethyl-D-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-07-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N, α -dimethyl-D-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-08-2 HCAPLUS

CN L-Tyrosinamide, N,2-dimethyl-N-[(phenylmethoxy)carbonyl]-L-leucyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287212-09-3 HCAPLUS

CN L-Tyrosinamide, N,2-dimethyl-L-leucyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-10-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,2-dimethyl-L-leucyl-3-<math>(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-11-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-D-isovalyl-3-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 287212-12-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-13-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-isovalyl-3-<math>(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-14-0 HCAPLUS

CN L-Tyrosinamide, N,3-dimethyl-N-[(phenylmethoxy)carbonyl]-D-isovalyl-3-(1,1-

dimethylethyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-15-1 HCAPLUS

CN L-Tyrosinamide, N,3-dimethyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-16-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,3-dimethyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-23-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N,3-dimethyl-L-valyl-3- (1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-24-2 HCAPLUS

CN L-Tyrosinamide, N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-25-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287212-46-8 HCAPLUS

CN L-Tyrosinamide, 3-(2-fluoro-4-pyridinyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-47-9 HCAPLUS

CN L-Tyrosinamide, 3-(2-fluoro-4-pyridinyl)-N-[(phenylmethoxy)carbonyl]-D-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287212-49-1 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-3-ethoxy-2-[(4-fluorophenyl)methyl]-1,3-dioxopropyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-50-4 HCAPLUS

CN L-Tyrosinamide, N-[(2R)-3-ethoxy-2-[(4-fluorophenyl)methyl]-1,3-dioxopropyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287212-52-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-(4-pyridinyl)-L-alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-53-7 HCAPLUS

CN L-Tyrosinamide, 4-cyano-N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287212-54-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:210207 HCAPLUS

DOCUMENT NUMBER: 132:251427

TITLE: Preparation of peptide derivatives as motilin receptor

antagonists

INVENTOR(S): Matsuoka, Hiroharu; Sato, Tsutomu
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PA	PATENT NO.						DATE			APP	LICAT		DATE					
WO	2000017231				A1	_	2000	0330		WO	 1999-		1	 L9990	924			
	W:	ΑE,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG	, BR,	BY,	CA,	CH,	CN,	CR,	CU,	
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		IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC	, LK,	LR,	LS,	LT,	LU,	LV,	MD,	
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		CG,	CI,	CM,	GΑ,	GN,	GW,	${ m ML}$,	MR,	NE	, SN,	TD,	ΤG					
TW	5096	509699			В	З 20021111			TW 1999-88116326									
AU	9957	7592			А		2000	0410	AU 1999-57592									
EP	1116	726							EP 1999-944808									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO											
	3519367							JP 2000-574139						19990924				
	US 6586630							US 2001-787674						20010321				
	2003						2003			US	2003-	3565	58		2	20030	203	
US	6720	433			В2		2004	0413										
PRIORIT	Y APP	LN.	INFO	.:							1998-					19980	924	
											1999-					19990		
						US	2001-	7876	74		A3 2	20010	321					

OTHER SOURCE(S): MARPAT 132:251427

H-Phe-Val-substituted Ala-derivs. represented by general formula R3CH(CHR1R2)-X-NR4CH(R5)-Y-NR6CH(CH2R8)R7 [R1 = (un)substituted Ph, heterocyclyl, C2-6 linear or branched alkenyl or alkynyl; R2 = H, (un) substituted C1-3 linear or branched alkyl alkyl, NH2, OH; R3 = H, (un) substituted C1-3 linear or branched alkyl, (un) substituted NH2, OH; R4 = H, Me, Et; R5 = (un)substituted C1-6 linear or branched alkyl, C3-7 cycloalkyl, (un) substituted Ph; R6 = H, Me, Et; R7 = H, (un) substituted C1-3 linear or branched alkyl, (un) substituted CONH2; R8 = (un) substituted C3-9 heterocyclyl, (un)substituted Ph], hydrates, or pharmaceutically acceptable salts thereof are prepared Drugs containing these compds. as the active ingredient for motilin receptor antagonists, inhibiting movement of digestive tracts, or treating high level of motilin in blood are also claimed. These peptides are useful for the treatment of irritable bowel syndrome. Thus, Me-Val-Phe(3-tert-butyl-4-F)-NH2 (preparation given) was condensed with Boc-Phe-OH using BOP and diisopropylethylamine in CH2Cl2 at room temperature for 22 h, followed by the treatment with CF3CO2H, to give H-Phe-N-Me-Val-Phe(3-tert-butyl-4-F)-NH2 (I). I showed IC50 of 3.5 nM for inhibiting the binding of [1251] motilin to viscous membrane preparation from rabbit ileum.

IT 262360-77-0P 262360-78-1P 262360-79-2P 262360-85-0P 262360-90-7P 262362-12-9P 262362-15-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide derivs. as motilin receptor antagonists and inhibitors of digestive tract motility)

RN 262360-77-0 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-acetyl- (9CI) (CA INDEX

NAME)

Absolute stereochemistry.

RN 262360-78-1 HCAPLUS CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(1-oxopropyl)- (9CI)

Absolute stereochemistry.

(CA INDEX NAME)

RN 262360-79-2 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 262360-85-0 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-Nα-methyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262360-90-7 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-N α -methyl-3-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

RN 262362-12-9 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-D-valyl-N α -methyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262362-15-2 HCAPLUS

CN D-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-N α -methyl-3-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262360-94-1 HCAPLUS
CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-acetyl(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262360-95-2 HCAPLUS
CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-acetyl- (9CI) (CA INDEX NAME)

RN 262360-98-5 HCAPLUS CN L-Tyrosinamide, N-methylvalyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262361-01-3 HCAPLUS
CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]valyl-3-(1-oxopropyl)(9CI) (CA INDEX NAME)

RN 262361-02-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methylvalyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262361-03-5 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-D-valyl-3-(1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 262361-07-9 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262361-09-1 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 262361-10-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262361-57-9 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-N α -methyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 262361-58-0 HCAPLUS CN L-Tyrosinamide, N-methyl-D-valyl-N α -methyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262361-61-5 HCAPLUS

CN L-Tyrosinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-N-methylvalyl-N α -methyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 262361-62-6 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-D-valyl-N α -methyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262361-63-7 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-N α -methyl-3-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

RN 262362-03-8 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-N α -methyl-3-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262362-21-0 HCAPLUS

CN D-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-N α -methyl-3-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1

(FILE 'HOME' ENTERED AT 17:23:26 ON 03 NOV 2008)

FILE 'REGISTRY' ENTERED AT 17:23:38 ON 03 NOV 2008

STRUCTURE UPLOADED

L2 5 S L1

L3 728 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 17:27:38 ON 03 NOV 2008

L4 47 S L3

FILE 'REGISTRY' ENTERED AT 17:27:44 ON 03 NOV 2008

L5 STRUCTURE UPLOADED

L6 4 S L5

L7 700 S L5 FULL

L8 0 S L7 NOT L3

FILE 'HCAPLUS' ENTERED AT 17:33:10 ON 03 NOV 2008

L9 41 S L7

L10 3 S L9 AND MATSUOKA, H?/AU

=> s 19 not 110

L11 38 L9 NOT L10

 \Rightarrow s 111 and sato, t?/au

26088 SATO, T?/AU

L12 2 L11 AND SATO, T?/AU

 \Rightarrow d 112, ibib abs hitstr, 1-2

L12 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:90066 HCAPLUS

DOCUMENT NUMBER: 136:135034

TITLE: Method for producing tripeptide derivative

INVENTOR(S): Sato, Tsutomu; Shimizu, Hirohito

PATENT ASSIGNEE(S): Chuqai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	ATENT :	KIND DATE				APPL	ICAT		DATE									
M. —	0 2002	2002008248					2002	0131	WO 2001-JP6295						20010719			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	
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J:	JP 2005097119						A 20050414 JP 2000-219977								20000721			
PRIORITY APPLN. INFO.:						JP 2000-219977									A 2	0000	721	
OTHER SOURCE(S):						CASREACT 136:135034; MARPAT 136:135034												
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

A method for producing L-phenylalanyl-L-valyl-L-3-tert-butyl-L-AB tyrosinamide compds. represented by the general formula (I; wherein R1 represents a hydrogen atom or a linear or branched aliphatic alkyl group having 1 to 4 carbon atoms; R2 represents a hydrogen atom or Me group; R3 represents a hydrogen atom or Me group; and R4 represents a halogen atom) comprises condensation of 3-tert-butyl-L-tyrosinamide derivs. (II; R1, R2 = same as above) with N-methyl-L-valine derivs. (III; P1 =amino-protecting group), N-deprotection of the resulting L-valyl-3-tert-butyl-L-tyrosinamide derivs. (IV; R1, R2, P1 = same as above), and condensation of the resulting IV (P1 = H; R1 , R2 = same as above) with L-phenylalanine derivs. (V; R3, R4 = same as above; P2 =amino-protecting group) followed by N-deprotection. The method can be advantageously used for producing a novel peptide derivative in a com. process. Thus, 20.8 g MeSO3H and 20.0 g tert-Bu chloride were successively added to 10.0 g L-tyrosine Me ester hydrochloride under stirring, stirred at 50° for 5 h, treated dropwise with MeOH (20 mL)/H2O (20 mL) under ice-cooling then with a solution of 14.2 g KOH in 43 mL $\mbox{H2O}$ at <10° to give 77.0% 3-tert-butyl-L-tyrosine Me ester which (8.35 g) was added to a mixture of 24.1 g 62% aqueous ethylamine and 7.52 g ethylamine hydrochloride under ice-cooling and stirred at room temperature for

h to give 89.8% 3-tert-butyl-L-tyrosine ethylamide (VI). To a solution of 5.50 g VI and 3.35 g 1-hydroxybenzotriazole monohydrate in 55 mL THF were successively added 4.19 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 3.04 mL Et3N and stirred at room temperature for 2.5 h to give

100% N-tert-butoxycarbonyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide which (10.0 g) was dissolved in 100 mL EtOAc, treated with 11.1 mL concentrated H2SO4 under ice-cooling, treated with 100 mL EtOAc, adjusted pH 8 by adding saturated aqueous NaHCO3, and stirred 15 min to give 87.9% N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide (VII). To a mixture of 5.50 g VII, 5.20 g N-tert-butoxycarbonyl-N-methyl-4-fluoro-L-phenylalanine, 4.47 g 2-chloro-1-methylpyridinium iodide, and 37 mL tert-Bu Me ether was added 5.09 mL Et3N and stirred at room temperature for 4 h to give 86.0% N-tert-butoxycarbonyl-N-methyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide which (7.50 g) was similarly deprotected as described above using concentrated H2SO4 in EtOAc to give 100% N-methyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine.

IT 220808-88-8P 287210-08-6P 287210-10-0P 393561-99-4P 393562-02-2P 393562-03-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation tripeptide derivs. by sequential coupling of N-methyl-L-valine derivs. and L-phenylalanine derivs. to 3-tert-butyl-L-tyrosinamide derivs.)

RN 220808-88-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-08-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287210-10-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393561-99-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 393562-02-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 393562-03-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 O O Me S N S N

IT 287205-81-6P 287206-61-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation tripeptide derivs. by sequential coupling of N-methyl-L-valine derivs. and L-phenylalanine derivs. to 3-tert-butyl-L-tyrosinamide derivs.)

RN 287205-81-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:139868 HCAPLUS

DOCUMENT NUMBER: 130:196958

TITLE: Preparation of 3-tert-butyl-L-tyrosinamide-containing

peptides and related compounds exhibiting a motilin

receptor antagonism

INVENTOR(S): Kotake, Ken-ichiro; Kozono, Toshiro; Sato,

Tsutomu; Takanashi, Hisanori

PATENT ASSIGNEE(S): Chuqai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO	9909	A1 19990225					WO 1	998-		19980814									
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,		
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	KE,	KG,	KR,		
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NΖ,		
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,		
		US,	UΖ,	VN,	YU,	ZW													
	RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,		
		FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,		
		CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG								
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	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,		
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PRIORITY	RIORITY APPLN. INFO.:									JP 1997-255879						9970			
										JP 1			-			9980	528		
										WO 1	998-	JP36.	27	1	W 1	9980	814		
OTHER SO	IHER SOURCE(S): I					PAT	130:	1969!	58										

$$\begin{array}{c|c} R^3 \\ R^1-A-N \ CHCH_2 \\ R^2 \end{array} \qquad \qquad \mathbb{R}^4$$

ΙI

AB Phenethylamine derivs. represented by general formula [I; wherein A represents an amino acid or α -substituted amino acid residue; R1 represents R6CO, (un)substituted C2-7 linear or branched alkyl, C3-8 alkenyl, or C3-8 alkynyl; R2 represents hydrogen, C1-3 linear or branched

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alkyl; R3 represents COR7, (un) substituted C1-5 linear or branched alkyl,
     C2-5 alkenyl, or C2-5 alkynyl; R4 represents H, C1-6 linear or branched
     alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R5 represents hydroxy or C1-4
     n-alkoxy; R6 represents (un) substituted C1-6 linear or branched alkyl,
     C2-7 alkenyl, or C2-7 alkynyl, optionally benzene- or heterocyclic
     ring-condensed C3-7 cycloalkyl, (un)substituted C6-12 aromatic ring,
     (un) substituted C3-12 (un) saturated heterocyclic ring, (un) substituted NH2,
     (un) substituted linear or branched C1-5 alkoxy, C2-6 alkenyloxy, C2-6
     alkynyloxy, etc.; and R7 represents H, (un)substituted C1-5 linear or
     branched alkyl, C3-7 cycloalkyl, (un)substituted NH2, OH, linear or
     branched alkyl C1-6 alkoxy, or C3-7 cycloalkyloxy] are prepared Also
     claimed are a motilin receptor antagonist, an inhibitor of digestive tract
     motility, and a remedy for high blood motilin. They are also useful for
     the treatment of irritable bowel syndrome. Thus,
     N\alpha-methyl-N-[2-(3-tert-butyl-4-hydroxyphenyl)-1-methylethyl]-L-
     valinamide was condensed with Boc-Phe-OH using HOBT and DIC in DMF at room
     temperature for 2.5 days followed by deprotection with CF3CO2H in CH2Cl2 to
give
     the title compound (II). II in vitro showed IC50 of 1.9 nM for inhibiting
     the binding of [1251] motilin motilin receptor preparation from rabbit ileum
     mucous membrane.
     220806-45-1P 220806-47-3P 220806-49-5P
     220806-51-9P 220806-59-7P 220806-61-1P
     220806-63-3P 220806-69-9P 220806-71-3P
     220806-75-7P 220806-77-9P 220806-79-1P
     220806-81-5P 220806-83-7P 220806-85-9P
     220806-87-1P 220806-89-3P 220806-91-7P
     220806-93-9P 220806-95-1P 220806-97-3P
     220806-99-5P 220807-01-2P 220807-03-4P
     220807-05-6P 220807-07-8P 220807-09-0P
     220807-11-4P 220807-19-2P 220808-01-5P
     220808-02-6P 220808-03-7P 220808-04-8P
     220808-05-9P 220808-06-0P 220808-07-1P
     220808-08-2P 220808-09-3P 220808-10-6P
     220808-16-2P 220808-17-3P 220808-18-4P
     220808-19-5P 220808-20-8P 220808-26-4P
     220808-27-5P 220808-28-6P 220808-29-7P
     220808-30-0P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as
       motilin receptor antagonists, inhibitors of digestive tract motility,
        and remedy for high blood motilin)
     220806-45-1 HCAPLUS
     L-Tyrosinamide, L-phenylalanyl-L-phenylalanyl-3-(1,1-dimethylethyl)-,
     mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
     CM
     CRN 220806-44-0
     CMF C31 H38 N4 O4
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Absolute stereochemistry.

RN

CN

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-47-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-46-2 CMF C27 H38 N4 O4

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-49-5 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-alanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-48-4 CMF C25 H34 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-51-9 HCAPLUS

L-Tyrosinamide, L-phenylalanyl-L-leucyl-3-(1,1-dimethylethyl)-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CRN 220806-50-8 CMF C28 H40 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-59-7 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-tyrosyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-58-6 CMF C31 H38 N4 O5

CRN 76-05-1 CMF C2 H F3 O2

$${\tiny \begin{array}{c}F\\F-C-CO_2H\\|\\F\end{array}}$$

RN 220806-61-1 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl- $(\alpha S)-\alpha$ -aminobenzenebutanoyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-60-0 CMF C32 H40 N4 O4

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-63-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-3-(2-thienyl)-L-alanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-62-2 CMF C29 H36 N4 O4 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-69-9 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-2-methylalanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CRN 220806-68-8 CMF C26 H36 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-71-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-isoleucyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-70-2 CMF C28 H40 N4 O4

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-75-7 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-3-cyclohexyl-L-alanyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-74-6 CMF C31 H44 N4 O4

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-77-9 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-3-methyl-L-valyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-76-8 CMF C28 H40 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-79-1 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L- α -aspartyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CRN 220806-78-0 CMF C26 H34 N4 O6

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-81-5 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L- α -glutamyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-80-4 CMF C27 H36 N4 O6

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-83-7 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-5-carboxy-L-norvalyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-82-6 CMF C28 H38 N4 O6

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-85-9 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-asparaginyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-84-8 CMF C26 H35 N5 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-87-1 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-glutaminyl-3-(1,1-dimethylethyl)-,
mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CRN 220806-86-0 CMF C27 H37 N5 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-89-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-6-oxo-L-lysyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-88-2 CMF C28 H39 N5 O5

09890219

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-91-7 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-(2S)-2,4-diaminobutanoyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-90-6

CMF C26 H37 N5 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-93-9 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-ornithyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CRN 220806-92-8 CMF C27 H39 N5 O4

Absolute stereochemistry.

t-Bu
HO

$$\begin{array}{c}
\text{NH}_2\\
\text{H}_2\text{N} & \text{S} \\
\text{NH}_2\\
\text{O}
\end{array}$$
 $\begin{array}{c}
\text{NH}_2\\
\text{CH}_2
\end{array}$
 $\begin{array}{c}
\text{NH}_2\\
\text{O}
\end{array}$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-95-1 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-lysyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-94-0 CMF C28 H41 N5 O4

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-97-3 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-seryl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-96-2 CMF C25 H34 N4 O5

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

RN 220806-99-5 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-homoseryl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220806-98-4 CMF C26 H36 N4 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220807-01-2 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-threonyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CRN 220807-00-1 CMF C26 H36 N4 O5

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220807-03-4 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-(2S)-2-aminobutanoyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-02-3 CMF C26 H36 N4 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220807-05-6 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-norvalyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-04-5 CMF C27 H38 N4 O4

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220807-07-8 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-methionyl-3-(1,1-dimethylethyl)-,

mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-06-7 CMF C27 H38 N4 O4 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220807-09-0 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-histidyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-08-9 CMF C28 H36 N6 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

$$\begin{smallmatrix} F \\ | \\ F - C - CO_2H \\ | \\ F \end{smallmatrix}$$

RN 220807-11-4 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-tryptophyl-3-(1,1-dimethylethyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220807-10-3 CMF C33 H39 N5 O4

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220807-19-2 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-01-5 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-02-6 HCAPLUS

CN L-Tyrosinamide, 4,5-didehydro-5-methyl-L-norleucyl-N-methyl-L-valyl-3-(1,1-

dimethylethyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-03-7 HCAPLUS

CN L-Tyrosinamide, (2S)-2-amino-6-heptynoyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-04-8 HCAPLUS

CN L-Tyrosinamide, 4,4,5,5-tetradehydro-L-norleucyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 220808-05-9 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(3S)-1-oxo-3-phenylbutyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-06-0 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(3R)-1-oxo-3-phenylbutyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-07-1 HCAPLUS

CN L-Tyrosinamide, 3-phenyl- β -alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-08-2 HCAPLUS

CN L-Tyrosinamide, N-(1,2-dioxo-3-phenylpropyl)-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-09-3 HCAPLUS

CN L-Tyrosinamide, N-phenylglycyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 220808-10-6 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-phenylglycyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-16-2 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-17-3 HCAPLUS

CN L-Valinamide, L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 220808-18-4 HCAPLUS

CN L-Tyrosinamide, L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-19-5 HCAPLUS

CN L-Valinamide, N-methyl-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-20-8 HCAPLUS

CN L-Valinamide, L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

RN 220808-26-4 HCAPLUS

CN L-Tyrosinamide, N-(3-phenylbutyl)-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-27-5 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-phenylpropyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-28-6 HCAPLUS

CN Butanamide, N-[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[[(2S)-2-amino-3-phenylpropyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

RN 220808-29-7 HCAPLUS

CN L-Tyrosinamide, (2R)-2-amino-6-heptynoyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-30-0 HCAPLUS

CN L-Tyrosinamide, 4,4,5,5-tetradehydro-D-norleucyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 220808-99-1 220809-00-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as motilin receptor antagonists, inhibitors of digestive tract motility, and remedy for high blood motilin)

RN 220808-99-1 HCAPLUS

CN L-Tyrosinamide, L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 220809-00-7 HCAPLUS

CN 10-Oxa-2,5,8-triazadodecanoic acid, 4-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-11,11-dimethyl-7-(1-methylethyl)-6,9-dioxo-, phenylmethyl ester, (4S,7S)- (CA INDEX NAME)

Absolute stereochemistry.

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220808-36-6P 220808-37-7P 220808-43-5P
TΤ
     220808-44-6P 220808-46-8P 220808-63-9P
     220808-65-1P 220808-67-3P 220808-70-8P
     220808-72-0P 220808-73-1P 220808-74-2P
     220808-75-3P 220808-80-0P 220808-83-3P
     220808-84-4P 220808-85-5P 220808-86-6P
     220808-87-7P 220808-88-8P 220808-89-9P
     220808-90-2P 220808-94-6P 220808-95-7P
     220808-96-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation of 3-tert-butyl-L-tyrosinamide-containing peptide compds. as
       motilin receptor antagonists, inhibitors of digestive tract motility,
        and remedy for high blood motilin)
RN
     220808-36-6 HCAPLUS
CN
     L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-
     valy1-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)
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RN 220808-37-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-43-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-44-6 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-46-8 HCAPLUS

CN L-Tyrosinamide, L-valyl-3-(1,1-dimethylethyl)-N α -methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 220808-45-7 CMF C19 H31 N3 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 220808-63-9 HCAPLUS

CN L-Tyrosinamide, 4,5-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-5-methyl-L-

norleucyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-65-1 HCAPLUS

CN L-Tyrosinamide, 2-[[(1,1-dimethylethoxy)carbonyl]amino]-6-heptynoyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-67-3 HCAPLUS

CN L-Tyrosinamide, 4,4,5,5-tetradehydro-N-[(1,1-dimethylethoxy)carbonyl]norleucyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 220808-70-8 HCAPLUS

CN L-Tyrosinamide, (2Z)-2,3-didehydro-N-[(1,1-dimethylethoxy)carbonyl]-3-phenyl- β -alanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 220808-72-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-phenylglycyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 220808-73-1 HCAPLUS

CN L-Tyrosinamide, N-phenyl-N-[(phenylmethoxy)carbonyl]glycyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-74-2 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-3-phenyl-2-[[(phenylmethoxy)carbonyl]amino]propyl]-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-75-3 HCAPLUS

CN 10-0xa-2,5,8-triazadodecanoic acid, 6-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-11,11-dimethyl-3-(1-methylethyl)-4,9-dioxo-, phenylmethyl ester, (3S,6S)- (CA INDEX NAME)

RN 220808-80-0 HCAPLUS

CN 2,5,8,11-Tetraazadodecanedioic acid, 9-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-6-(1-methylethyl)-7-oxo-3-(phenylmethyl)-, 1,12-bis(phenylmethyl) ester, (3S,6S,9S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-83-3 HCAPLUS

CN Butanamide, N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-2-(methylamino)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-84-4 HCAPLUS

CN Carbamic acid, [(1S)-1-[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

09890219

Absolute stereochemistry.

RN 220808-85-5 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-86-6 HCAPLUS

CN L-Tyrosine, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-87-7 HCAPLUS

CN L-Tyrosinamide, N-methyl-N-[(phenylmethoxy)carbonyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-88-8 HCAPLUS

CN L-Tyrosinamide, N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-89-9 HCAPLUS

CN L-Tyrosinamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 220808-90-2 HCAPLUS

CN L-Valinamide, N-(1,1-dimethylethyl)-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-94-6 HCAPLUS

CN Butanamide, N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N,3-dimethyl-2-(methylamino)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-95-7 HCAPLUS

CN Carbamic acid, [(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]methylamino]carbonyl]-2-methylpropyl]methyl-, phenylmethylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 220808-96-8 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 17:23:38 ON 03 NOV 2008

L1 STRUCTURE UPLOADED

L2 5 S L1

L3 728 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 17:27:38 ON 03 NOV 2008 L4 47 S L3

FILE 'REGISTRY' ENTERED AT 17:27:44 ON 03 NOV 2008

L5 STRUCTURE UPLOADED

L6 4 S L5

L7 700 S L5 FULL

L8 0 S L7 NOT L3

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L10 3 S L9 AND MATSUOKA, H?/AU

L11 38 S L9 NOT L10

L12 2 S L11 AND SATO, T?/AU

FILE 'HCAPLUS' ENTERED AT 17:37:53 ON 03 NOV 2008

=> s 111 not 112

L13 36 L11 NOT L12

=> s 113 and takahashi, t?/au 21959 TAKAHASHI, T?/AU

L14 0 L13 AND TAKAHASHI, T?/AU

=> s 113 and kim, d?/au 31142 KIM, D?/AU

=> d 115, ibib abs hitstr, 1

L15 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:637704 HCAPLUS

DOCUMENT NUMBER: 137:185838

TITLE: Process for preparation of peptide derivatives INVENTOR(S): Kim, Dong Ick; Jeon, Gee Ho; Kim, Sung Jin

PATENT ASSIGNEE(S): Chuqai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.							DATE			
	WO	2002	0646	 23		A1		20020822		WO 2002-JP1139						20020212				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,		
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			CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,		
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG		
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											WO 2	002-	JP11.	39	•	W 2	0020	212		
\triangle TI	ים מתו	ALID OF	(C).			CACDEACT 127.105020. MADDAT 127.105020														

OTHER SOURCE(S): CASREACT 137:185838; MARPAT 137:185838

GΙ

AB The title compds. I [R1 is hydrogen or linear or branched C1-4 alkyl; R2 is hydrogen or linear or branched C1-4 alkyl; and R3 is halogeno] are prepared in a multistep process. I are motilin receptor antagonists and are useful as drugs for gastric or intestinal diseases (no data). Thus, amidation of N-(tert-butoxycarbonyl)-L-(4-fluorophenyl)alanine with L-valine Me ester hydrochloride, followed by methylation with iodomethane, saponification, reaction with 3-tert-butyl-L-tyrosine Et amide, and deprotection,

Ι

gave N-methyl-L-4-fluorophenylalanyl-N-methyl-L-valine-3-tert-butyl-L-tyrosine Et amide.

IT 287206-61-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for preparation of peptide derivs.)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.

IT 287210-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of peptide derivs.)

RN 287210-10-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 5

RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT => d his (FILE 'HOME' ENTERED AT 17:23:26 ON 03 NOV 2008) FILE 'REGISTRY' ENTERED AT 17:23:38 ON 03 NOV 2008 L1STRUCTURE UPLOADED L25 S L1 L3 728 S L1 FULL FILE 'HCAPLUS' ENTERED AT 17:27:38 ON 03 NOV 2008 47 S L3 L4FILE 'REGISTRY' ENTERED AT 17:27:44 ON 03 NOV 2008 L5 STRUCTURE UPLOADED L6 4 S L5 L7 700 S L5 FULL 0 S L7 NOT L3 L8 FILE 'HCAPLUS' ENTERED AT 17:33:10 ON 03 NOV 2008 L9 41 S L7 3 S L9 AND MATSUOKA, H?/AU L10 L11 38 S L9 NOT L10 L12 2 S L11 AND SATO, T?/AU FILE 'HCAPLUS' ENTERED AT 17:37:53 ON 03 NOV 2008 36 S L11 NOT L12 L13 0 S L13 AND TAKAHASHI, T?/AU L14 L15 1 S L13 AND KIM, D?/AU => s 113 not 115 35 L13 NOT L15 L16 => s 116 and jung, k?/au 3315 JUNG, K?/AU 0 L16 AND JUNG, K?/AU => s 116 and park, c?/au 12758 PARK, C?/AU 0 L16 AND PARK, C?/AU T₁18 \Rightarrow d 116, ibib abs hitstr, 1-35 L16 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2008:1179883 HCAPLUS TITLE: Process for synthesizing cyclic peptide compound Suga, Hiroaki; Murakami, Hiroshi; Goto, Yuki; INVENTOR(S): Yamagishi, Yusuke; Ashigai, Hiroshi; Sako, Yusuke The University of Tokyo, Japan PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 82pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Japanese

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PAT	ENT 1	NO.			KIND DATE					APPL	ICAT		DATE				
WO	2008117833			A1	_	20081002		,	WO 2	008-	 JP55	 771		20080326			
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB It is intended to provide a novel process for synthesizing a cyclic peptide compound and a novel cyclic peptide compound Namely, a process for synthesizing a cyclic peptide compound comprises: (1) the step of synthesizing a non-cyclic peptide compound, which has a pair of functional groups capable of undergoing a bond-forming reaction, i.e., one functional group 1 and another functional group 2, in its mol. via translational synthesis; and (2) the step of cyclizing the above-described non cyclic peptide compound by the bond-forming reaction between the functional groups 1 and 2 as described above. This process uses a cell-free translation system comprising a ribozyme capable of catalyzing aminoacylation reaction of tRNA with amino acids and peptide coupling to synthesize a noncyclic peptide which is then cyclized to give a desired cyclic peptide. A novel cyclic peptide compound (I) was prepared by adding a DNA template, asparagine-specific tRNA containing GAG anticodon aminoacylated by R-Asp-Tyr-Lys-Asp-Asp-Asp-Lys-OH (R = L-3-phenyllactic acid residue) (R-flag), asparagine-specific tRNA containing CAU anticodon aminoacylated by N-chloroacetyl-L-tryptophan, L-phenylalanine, L-glutamic acid, glycine, L-tyrosine, L-aspartic acid, L-asparagine, L-threonine, L-proline, L-cysteine, L-lysine, and the ribozyme, i.e. GGAUCGAAAGAUUUCCGCGGCCCCGAAAGGGGAUUAGCGUUAGGU or GGAUCGAAAGAUUUCCGCAUCCCCGAAAGGGUACAUGGCGUUAGGU, in a reaction system to qive a cyclic peptide containing R-flag peptide which was purified by affinity chromatog. using FLAG antibody and heated in a Bicine buffer at 95° for 30 min to give the desired cyclic peptide I.

ΙT 1065570-13-9P

> RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(process for preparation of cyclic peptide compound by cell-free translation system using ribozyme as aminoacylation catalyst to prepare noncyclic peptide)

RN 1065570-13-9 HCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

Updated Search

PAGE 2-A

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:447806 HCAPLUS

DOCUMENT NUMBER: 148:532643

TITLE: Zinc(II)-Coordinated Oligotyrosine: A New Class of

Cell Penetrating Peptide

AUTHOR(S): Johnson, James R.; Jiang, Hua; Smith, Bradley D. CORPORATE SOURCE: Department of Chemistry and Biochemistry, University

of Notre Dame, Notre Dame, IN, 46556, USA

SOURCE: Bioconjugate Chemistry (2008), 19(5), 1033-1039

CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB A new series of cell penetrating peptides (CPPs) are described. The peptides are oligomers of Tyr-ZnDPA, a tyrosine derivative with an appended 2,2'-dipicolylamine unit that forms a very stable coordination complex with a zinc (II) cation. This in turn allows reversible association with a chelating oxyanion such as a carboxylate or phosphate derivative. The peptide oligomers (Tyr-ZnDPA) n where n = 1, 2, 4, 8, are highly water soluble, but upon association with fatty acids or phospholipids they partition into an organic.

octanol phase. Furthermore, a fluorescent, fluorescein-labeled version of the octamer, (Tyr-ZnDPA)8-Fl, can enter living mammalian cells via endocytosis and a biotin derivative can deliver fluorescein-labeled streptavidin. Fluorescence microscopy and flow cytometry expts. show that cell uptake is diminished by conditions that inhibit endocytosis. Addnl., uptake of (Tyr-ZnDPA)8-Fl is greater than fluorescein labeled octaarginine (Arg8-Fl) in all cell lines tested (CHO, COS-7, HeLa). Another difference with Arg8-Fl is that cell uptake of (Tyr-ZnDPA)8-Fl does not require the presence of heparan sulfate proteoglycans on the cell surface. This difference may eventually be of practical value because drug delivery systems that employ alternative endocytic mechanisms may be optimal for different cell lines or they may deliver selectively to different organelles within a cell.

IT 1024613-48-6P 1024613-49-7P

RL: BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (zinc(II)-coordinated oligotyrosine as new class of cell penetrating peptide)

RN 1024613-48-6 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[5-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L-tyrosyl-3-

[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 2-A

PAGE 2-B

RN

1024613-49-7 HCAPLUS L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[5-[(3aS,4S,6aR)-СИ

```
hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-1-oxopentyl]-L-tyrosyl-3-
[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-
pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-
pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-
pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-
pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-
pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-
pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)
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PAGE 2-A

N

PAGE 2-B



IT 1024613-31-7

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
 (zinc(II)-coordinated oligotyrosine as new class of cell penetrating
 peptide)

RN 1024613-31-7 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

PAGE 1-B

PAGE 1-B

PAGE 2-A

RN 1024613-33-9 HCAPLUS
CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-B

PAGE 2-A

PAGE 3-A

RN 1024613-36-2 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 1024613-37-3 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

PAGE 1-B

RN 1024613-38-4 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-A

RN

1024613-39-5 HCAPLUS
L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME) CN

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

PAGE 3-A

RN 1024613-40-8 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-B

PAGE 2-A

PAGE 3-A

IT 1024613-42-0P 1024613-43-1P 1024613-44-2P

Absolute stereochemistry.

PAGE 1-B



RN 1024613-43-1 HCAPLUS

CN L-Tyrosine, N-(6-amino-1-oxohexyl)-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-A

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RN 1024613-44-2 HCAPLUS
CN L-Tyrosine, N-(6-amino-1-oxohexyl)-3-[[bis(2-pyridinylmethyl)amino]methyl]-
L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)
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PAGE 1-A

PAGE 1-B

PAGE 2-A

PAGE 3-A

RN 1024613-46-4 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[6-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]-1-oxohexyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 1024613-47-5 HCAPLUS

CN L-Tyrosine, 3-[[bis(2-pyridinylmethyl)amino]methyl]-N-[6-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]-1-oxohexyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-L-tyrosyl-3-[[bis(2-pyridinylmethyl)amino]methyl]-, methyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:172923 HCAPLUS

DOCUMENT NUMBER: 148:299702

TITLE: Oral administration of MA-2029, a novel selective and

competitive motilin receptor antagonist, inhibits motilin-induced intestinal contractions and visceral

pain in rabbits

AUTHOR(S): Sudo, Hirokazu; Yoshida, Shoshin; Ozaki, Ken-ichi;

Muramatsu, Hiroyasu; Onoma, Mitsu; Yogo, Kenji; Kamei, Kenshi; Cynshi, Osamu; Kuromaru, Osamu; Peeters, Theo

L.; Takanashi, Hisanori

CORPORATE SOURCE: Fuji-Gotemba Research Laboratories, Chuqai

Pharmaceutical Co., Ltd., Shizuoka, 412-8513, Japan

SOURCE: European Journal of Pharmacology (2008), 581(3),

296-305

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

The pharmacol. properties of MA-2029, a novel motilin receptor antagonist, AB were investigated. In vitro, MA-2029 (1 to 30 nM) competitively inhibited motilin-induced contractions in isolated rabbit duodenal longitudinal muscle strips, with a pA2 value of 9.17 ± 0.01 (n = 5). However, contractile responses to acetylcholine and substance P were unaffected even at 1 μ M of MA-2029. MA-2029 concentration-dependently inhibited the binding of [125I]motilin to motilin receptors in a homogenate of rabbit colon smooth muscle tissue and membranes of HEK 293 cells expressing human motilin receptors. The pKi of MA-2029 was 8.58 ± 0.04 in the rabbit colon homogenate (n = 4) and 8.39 in the HEK 293 cells (mean of duplicate expts.). In vivo, orally-administered MA-2029 (3 to 30 mg/kg) dose-dependently inhibited colonic contractions induced by motilin (3 $\mu g/kg$, i.v.) in conscious rabbits. Inhibition was caused by all doses at 30 min after administration and by 10 mg/kg or more at 4 h after administration. The plasma concentration of MA-2029 correlated with its inhibitory effect. Furthermore, the oral administration of MA-2029 (0.3 to 3 mg/kg) also inhibited abdominal muscle contractions (an index of the visceral pain) induced by i.v. infusion of motilin (3 $\mu g/kg/h$) during colorectal distension in conscious rabbits. These results indicate that MA-2029 is an orally active, selective and competitive motilin receptor antagonist. It is suggested that this compound may be useful for gastrointestinal disorders associated with disturbed gastrointestinal

motility such as irritable bowel syndrome.

IT 287206-61-5, MA-2029

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

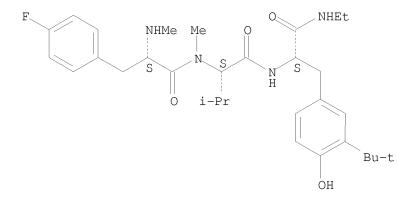
(oral motilin receptor antagonist MA-2029 inhibits intestinal

contractions and visceral pain)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:106928 HCAPLUS

DOCUMENT NUMBER: 148:221501

TITLE: Characterization of MA-2029 hydrochloride solvates,

desolvates, and a hydrate

AUTHOR(S): Takata, Noriyuki; Hayashi, Yoshiki; Machida, Minoru;

Terada, Katsuhide

CORPORATE SOURCE: Department of Pharmaceutics, Faculty of Pharmaceutical

Science, Toho University, 2-2-1 Miyama, Funabashi,

Chiba, 274-8501, Japan

SOURCE: Asian Journal of Pharmaceutical Sciences (Hong Kong,

China) (2006), 1(3-4), 146-158 CODEN: AJPSGU; ISSN: 1818-0876

PUBLISHER: Hong Kong Asiamed Publish House

DOCUMENT TYPE: Journal LANGUAGE: English

AB Purpose: To characterize the desolvation and hydration behavior of MA-2029 hydrochloride solvates, desolvates, and a hydrate. Methods: MA-2029 hydrochloride solvates, desolvates, and a hydrate were characterized by powder X-ray diffraction, crystal structure determination, moisture sorption anal., and differential scanning calorimetry. Results: The solvates crystallized from acetonitrile/water and Et acetate saturated with water were identified as acetonitrile solvated hemihydrate and Et acetate solvated hemihydrate, resp. Both solvates possessed essentially similar lattice parameters and similar MA-2029 conformations despite having different solvents, and had tunnel structures filled with the solvent mols., which

were maintained after desolvation. After desolvation, the vacant tunnels caused nonstoichiometric and extreme hygroscopicity at low relative humidity and they were maintained upon hydration. On heating the hydrate, disruption of the crystal lattice after dehydration was observed prior to melting and this was reflected in the enthalpies of fusion of the dehydrate that fell as the heating rate was reduced. Conclusions: MA-2029 hydrochloride solvates were classified as clathrates which possess tunnel structures. The tunnel structures caused their several specific physicochem. features in the desolvation and hydration processes: isomorphism between both solvates despite having different solvents, hydration into vacant tunnels created after desolvation, and disruption of crystal lattices of the dehydrate prior to melting during the heating process.

IT 922190-03-2, MA 2029 hydrochloride

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(MA-2029 hydrochloride solvates like acetonitrile solvated hemihydrate and Et acetate solvated hemihydrate showed similar lattice parameters and had tunnel structures filled with solvent, which were maintained after desolvation)

RN 922190-03-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 5 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1298385 HCAPLUS

DOCUMENT NUMBER: 146:177451

TITLE: Delineation of the motilin domain involved in

desensitization and internalization of the motilin receptor by using full and partial antagonists Mitselos, Anna; Depoortere, Inge; Peeters, Theo L.

CORPORATE SOURCE: Centre for Gastroenterological Research, Catholic

AUTHOR(S):

University of Leuven, Louvain, B-3000, Belg. SOURCE: Biochemical Pharmacology (2007), 73(1), 115-124

CODEN: BCPCA6; ISSN: 0006-2952

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AΒ Studies with fragments of the gastrointestinal peptide, motilin, indicate that the C-terminal region of this peptide plays an important role in the desensitization of the motilin receptor (MTLR). To verify this hypothesis, we studied the desensitization, phosphorylation and internalization induced by motilin analogs of different chain length with agonistic and antagonistic properties in CHO-MTLR cells. We studied motilin [1-22], the [1-14] fragment, the analogs Phe3[1-22] and Phe3[1-14], and two putative antagonists, GM-109 and MA-2029 (modified 1-4 and 1-3 fragments). Activation and desensitization (2 h preincubation with the motilin analogs 10 $\mu\text{M})$ were studied in CHO-MTLR cells by an aequorin based luminescence assay. Phosphorylation was studied by immunopptn. and internalization was visualized in CHO-MTLR cells containing an enhanced green fluorescent protein (CHO-MTLR-EGFP). Results showed that Motilin [1-22] and [1-14] were more potent than Phe3[1-22] and Phe3[1-14](pEC50: 9.77, 8.78, 7.36 and 6.65, resp.) to induce Ca2+ release. GM-109 and MA-2029 were without agonist activity. Motilin[1-22] and Phe3[1-22] decreased the second response to motilin from 78±2% to 11±3% and $34\pm3\%$ (P < 0.001), resp., whereas [1-14], Phe3[1-14], GM-109 and MA-2029 had no desensitizing effect (68 \pm 5%, 78 \pm 3%, 78 \pm 6% and $78\pm5\%$, resp., P > 0.05). The rank order of MTLR-phosphorylation was: [1-22] > [1-14] > Phe3[1-22] = Phe3[1-14] > GM-109 = MA-2029. Only motilin [1-22] and [1-14] induced receptor MTLR-EGFP internalization as shown by a decrease in membrane fluorescence: $20\pm3\%$ and $7\pm3\%$, resp. Thus, the C-terminus of motilin enhances desensitization, phosphorylation and internalization of the MTLR while modifications of the N-terminus can favor a conformation of the receptor that is less susceptible to phosphorylation and internalization.

IT 922190-03-2, MA 2029

RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); PRP (Properties); BIOL (Biological study)

(motilin receptor antagonist; delineation of motilin domain involved in desensitization, phosphorylation and internalization of motilin receptor by using full and partial antagonists)

RN 922190-03-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:600044 HCAPLUS

DOCUMENT NUMBER: 145:201969

TITLE: Development of Peptidic Dopamine Transporter

Inhibitors via Aromatic Modification-Mediated

Conformational Restriction

AUTHOR(S): Ding, Jinguo; Shi, Jiahao; Cui, Dafu; Xu, Linfeng;

Duan, Shuhui; Guo, Lihe; Fei, Jian

CORPORATE SOURCE: Institute of Biochemistry and Cell Biology Shanghai

Institutes for Biological Sciences (SIBS), Chinese Academy of Sciences (CAS), Shanghai, Peop. Rep. China

SOURCE: Journal of Medicinal Chemistry (2006), 49(14),

4048-4051

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB The dopamine transporter plays an important role in the mol. mechanism of cocaine dependence. It is suggested that inhibitors of the dopamine transporter would have strong therapeutic potential. Here we report that aromatic modification can constrain a linear peptide into the $\beta\text{-turn}$ conformation, which is preferred by the dopamine transporter. On the basis of this finding, a novel selective and competitive peptidic inhibitor of the dopamine transporter was developed. The peptide binds to the dopamine- and cocaine-binding site of the dopamine transporter and has behavioral effects different from those of cocaine in mice.

IT 905306-49-2

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(development of peptidic dopamine transporter inhibitors via aromatic modification-mediated conformational restriction)

RN 905306-49-2 HCAPLUS

CN L-Threonine, 3-[1,1'-biphenyl]-4-yl-L-alanyl-3-(phenylmethyl)-L-tyrosyl-L-threonyl-L-prolyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:147517 HCAPLUS

DOCUMENT NUMBER: 140:418430

TITLE: The Y1 receptor subtype mediates the cardiovascular

changes evoked by NPY administered into the posterior

hypothalamic nucleus of conscious rat

AUTHOR(S): Martin, John R.

CORPORATE SOURCE: Kirksville College of Osteopathic Medicine, Department

of Pharmacology, A.T. Still University of Health

Sciences, Kirksville, MO, 63501, USA

SOURCE: Brain Research (2004), 1002(1,2), 11-20 CODEN: BRREAP; ISSN: 0006-8993

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB An earlier study showed that the neuropeptide Y (NPY) receptor antagonist PYX-2 blocks the enhancement of a carbachol (CCh)-evoked pressor response produced by prior NPY administration into the posterior hypothalamic nucleus (PHN). The Y receptor subtype that mediates this response, and an increase in mean arterial pressure (MAP) and heart rate, remained unknown due to the lack of selectivity of PYX-2 for the Y receptor subtypes. Thus, the present study was undertaken to elucidate the Y receptor subtype responsible for mediating the NPY-evoked cardiovascular responses from the PHN by determining the rank order of potency of several NPY-related peptides

for

increasing MAP, and by correlating the pressor response evoked by these peptides to reported Ki's and IC50's for the Y1, Y2, Y4 and Y5 receptor subtypes. The pharmacol. profile

 $(PYY \ge NPY \ge [Leu31, Pro34] NPY \ge NPY13-36 \ge hPP)$ and

correlations suggest that the Y1 and/or Y5 receptor subtypes mediate these cardiovascular changes. Administration of the relatively non-selective Y

receptor antagonist PYX-2 or the selective Y1 receptor antagonist BIBP 3226 into the PHN prior to NPY completely blocked the cardiovascular responses. BIBP 3226 also blocked the cardiovascular changes evoked by [Leu31,Pro34]NPY, NPY13-36 and human pancreatic polypeptide (hPP). In contrast, neither BIBP 3226 nor PYX-2 inhibited the cardiovascular changes induced by peptide YY (PYY) or CCh microinjection into the PHN. These results show that NPY and PYY act on different receptors to mediate their resp. cardiovascular changes from the PHN with NPY stimulating the Y1 receptor.

IT 146999-93-1, PYX-2

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)

(NPY Y1 receptor subtype mediates cardiovascular changes evoked by NPY administered into posterior hypothalamic nucleus of conscious rat)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-isoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-L-glutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

2002:484863 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 137:47448

TITLE: Preparation of substituted phenylalaninol derivatives

as protein tyrosine phosphatase inhibitors

INVENTOR(S): Larsen, Scott D.; May, Paul D.; Bleasdale, John E.;

Liljebris, Charlotta; Schostarez, Heinrich Josef;

Barf, Tjeerd; Nilsson, Marianne

PATENT ASSIGNEE(S):

U.S., 144 pp., Cont.-in-part of U.S. Ser. No. 138,642. SOURCE:

CODEN: USXXAM

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.					D	DATE			APPLICATION NO.					DATE			
US	US 6410585				B1		20020625			US 1999-265410				19990310				
US	US 6353023						2002	20020305			1998	-1386	42	19980824				
CA	CA 2366308				A1 20000914				CA 2000-2366308					20000309				
WO					A1 20000914			WO 2000-US6022					20000309					
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		CZ,	DE,	DK,	DM,	EE,	ES,	FΙ,	GB,	GI	, GE	, GH,	GM,	HR,	HU,	ID,	IL,	
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC	C, LK	LR,	LS,	LT,	LU,	LV,	MA,	
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	ΡI	, PI	, RO,	RU,	SD,	SE,	SG,	SI,	
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG	G, US	, UZ,	VN,	YU,	ZA,	ZW		
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ	z, ue	, ZW,	ΑT,	BE,	CH,	CY,	DE,	
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU	J, MC	, NL,	PT,	SE,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE	E, SN	, TD,	ΤG					
EP	EP 1161421				A1 20011212					EP 2000-917793				20000309				
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, II	, LI,	LU,	NL,	SE,	MC,	PT,	
		,		,	LV,	,												
JP	JP 2002539115					T 20021119				JP 2000-604023				20000309				
AU	AU 769511						2004	0129		AU 2000-38711			20000309					
PRIORITY APPLN. INFO.:										US	1997	-5773	0P		P 1	9970	828	
										US	1998	-1386	42			9980		
										US	1999	-2654	10		A 1	9990	310	
										WO	2000	-US60	22	,	W 2	0000	309	
OTHER S	OTHER SOURCE(S):					PAT	137:	4744	8									

GΙ

AB The invention comprises phenylalaninol derivs., e.g., I [R1 = OSO3H, OCH(CO2R5)2, OCH2CO2R5, OCH(CO2R5)CH2CO2R5, OC(CO2R5):CHCO2R5, CH2CH(CO2R5)2, CH:C(CO2R5)2, OCH2CONHOH, N(CH2CO2R5)2, OCHFCO2R5 (R5 = H, alkyl, alkylphenyl); R2 = CHR7NHXR6, group Q (R6 = alkyl, alkyl-CONH2, alkyl-NHCO2R5, etc.; R7 = H, any group given for R6); R10 = H, CO2R5, CONHOH, 5-tetrazolyl, F, OCH2CO2R5], or their pharmaceutically acceptable salts, as small mol. weight, non-peptidic inhibitors of protein tyrosine phosphatase 1 (PTP1) which are useful for the treatment and/or prevention of non-insulin dependent diabetes mellitus. Thus, $5-[(2S)-2-[((2S)-2-[(tert-butoxycarbonyl)amino]-3-phenylpropanoyl]amino]-3-hydroxypropyl]-2-(carboxymethoxy)benzoic acid (claimed compound) was prepared and showed 80% inhibition of protein tyrosine phosphatase 1B at a concentration of 10 <math display="inline">\mu$ M.

IT 221077-70-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

RN 221077-70-9 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-3-(methoxycarbonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:131877 HCAPLUS

DOCUMENT NUMBER: 136:369971

TITLE: Chemical approaches to protein engineering 20: the

transformation of coded amino acid tyrosine to pro-templates having metal uptake potential in

peptide/protein segments

AUTHOR(S): Ranganathan, Subranania; Tamilarasu, Natarajan

CORPORATE SOURCE: Discovery Laboratory, Indian Institute of Chemical

Technology, Hyderabad, 500 007, India

SOURCE: Indian Journal of Chemistry, Section B: Organic

Chemistry Including Medicinal Chemistry (2001),

40B(11), 1081-1103

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:369971

AB From vantage of inorg. chemical, the inability of any of the coded amino acid side chains to carry metal ions should appear as a grave omission in the genetic code, particularly since, metallo-enzymes play a pivotal role in diverse facets of life processes. The ready transformation of the coded amino acid tyrosine to metal uptake systems forms the core of the present work. 3-Acetyl-tyrosine [Tyr(3-Ac)]- readily derived from tyrosine is amenable to normal protocols in peptide synthesis and offers ideal ligand dispositions to craft pro-templates. This aspect has been illustrated by

dispositions to craft pro-templates. This aspect has been illustrated by three broad strategies. The reaction of Tyr(3-Ac) with AEH, the mono Schiff base of acetylacetone and ethylenediamine (EDA), yields the pro-templates II [Tyr(3-Ac)-AEH] which can also be conveniently assembled, in situ, from acetylacetone, EDA and Tyr(3-Ac). Tripeptide, where Tyr(3-Ac) is flanked by Ala and Ser has been prepared and pro-template formation demonstrated in a peptide environment. Thus, either by normal peptide synthesis or by insertion of peptides containing Tyr(3-Ac), active

peptide synthesis or by insertion of peptides containing Tyr(3-Ac), active sites for metal uptake can be constructed, thereby, in principle, obviating the need for 50-70 residues normally required. Pro-templates for metal uptake can be readily crafted by cross linking of Tyr(3-Ac) with EDA. This has also been illustrated with Ala-Tyr(3-Ac)-Ser-OMe. Thus, peptides where Tyr(3-Ac) is placed at appropriate locations, with EDA, can provide conformationally restrained metal uptake systems. Conversely, the

oxime of Tyr(3-Ac) as well as the Schiff bases with β -ethanolamine

and Gly-OMe can bring together proximate residues by metal complexation. This aspect has been exptl. realized. The pro-templates from Tyr(3-Ac) [Schiff bases with AEH/EDA/ β -ethanolamine/Gly-OMe/and oximes] readily take up Cu(II), Co(II), and Ni(II) to form stable, well defined templates. The EPR spectra of the Cu(II) templates are that for typical square planar complexes, although in few sterically crowded examples rhombohedral

distortion was seen. The observed A and g parameters compared favorably with that reported for metalloproteins, with particular closeness to laccase. Cyclic voltammetric studies were complicated by incursion of ligand oxidation, although in two cases clear E° values of 340 mV and 305 mV were obtained, which lie in the range reported for metallo-proteins. The

Ni(II) templates exhibited the expected 1H NMR profile; in one example, coordination with two water mols. was seen. The Co(II) templates

exhibited typical d-d transition at .apprx.600 nm in the visible spectrum.

IT 158256-97-4P 158256-98-5P 158257-00-2P 158257-01-3P 221234-43-1P 221234-52-2P

221234-67-9P 221234-88-4P 221234-95-3P

221235-06-9P 221235-42-3P 221235-46-7P

221236-41-5P 221236-45-9P 221237-13-4P

422284-55-7P 422284-56-8P 422284-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of metal-binding tripeptides using modified tyrosine units) 158256-97-4 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl-, methylester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN

RN 158256-98-5 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 158257-00-2 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 158257-01-3 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 221234-43-1 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-acetyl-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221234-52-2 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-[[2-[(1-methyl-3-oxobutylidene)amino]ethyl]imino]ethyl]-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 221234-67-9 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 221234-88-4 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-seryl-3-acetyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221234-95-3 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-acetyl-, methyl ester

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221235-06-9 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-[[2-[(1-methyl-3-oxobutylidene)amino]ethyl]imino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 221235-42-3 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 221235-46-7 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-seryl-3-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 221236-41-5 HCAPLUS

CN L-Tyrosine, 23,2'3-[1,2-ethanediylbis(nitriloethylidyne)]bis[N-[(phenylmethoxy)carbonyl]-L-alanyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

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RN 221236-45-9 HCAPLUS

CN L-Tyrosine, 23,2'3-[1,2-ethanediylbis(nitriloethylidyne)]bis[N-[(phenylmethoxy)carbonyl]-L-seryl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

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PAGE 2-A

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RN 221237-13-4 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl- (9CI) (CA INDEX NAME)

RN 422284-55-7 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 422284-56-8 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-[1-[[2-[(1-methyl-3-oxobutylidene)amino]ethyl]imino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 422284-59-1 HCAPLUS

CN L-Serine, 23,2'3-[1,2-ethanediylbis(nitriloethylidyne)]bis[N[(phenylmethoxy)carbonyl]-L-alanyl-L-tyrosyl-, dimethyl ester (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

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PAGE 1-B

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PAGE 2-A

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REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:645993 HCAPLUS

DOCUMENT NUMBER: 133:238324

TITLE: Preparation of tyrosine amides and analogs as protein

tyrosine phosphatase inhibitors

Larsen, Scott D.; May, Paul D.; Bleasdale, John E.; Liljebris, Charlotta; Schostarez, Heinrich Josef; INVENTOR(S):

Barf, Tjeerd; Nilsson, Marianne Pharmacia and Upjohn AB, Swed.

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PA	PATENT NO.						KIND DATE			APPL	ICAT		DATE				
WO	2000	A1		20000914			WO 2	000-	US60	20000309							
	W:	ΑE,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
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		SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW	
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	CA 2366308																
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GΙ

AB RZCH2CR1R2NHZ1R3 [I; R = OSO3H, OCH2CO2R4, OCH2CONHOH, N(CH2CO2R4)2, etc.; R1 = H, CH2OH, alkylcarbamoyl, etc.; R2 = H or Me; R4 = H or (phenyl)alkyl; Z = (un)substituted 1,4-phenylene; Z1 = CO or SO2] were prepared Thus, (S)-Me2CO2CNHCH(CO2H)CH2C6H3(OH)I-4,3 was amidated by Ph(CH2)4NH2 and the product converted in 5 steps to title compound II. Data for biol. activity of I were given.

IT 221077-70-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tyrosine amides and analogs as protein tyrosine phosphatase inhibitors)

RN 221077-70-9 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-3-(methoxycarbonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 11 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:380070 HCAPLUS

DOCUMENT NUMBER: 133:187602

TITLE: Examination of novel non-phosphorus-containing phosphotyrosyl mimetics against protein-tyrosine

phosphatase-1B and demonstration of differential

affinities toward Grb2 SH2 domains

AUTHOR(S): Gao, Yang; Wu, Li; Luo, Juliet H.; Guo, Ribo; Yang,

Dajun; Zhang, Zhong-Yin; Burke, Terrence R., Jr. CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Division of Ba

Laboratory of Medicinal Chemistry, Division of Basic Sciences, National Cancer Institute, National

Institutes of Health, Bethesda, MD, 20892, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000),

10(9), 923-927

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Inhibitory potencies were compared of several mono- and dicarboxy-based pTyr mimetics in Grb2 SH2 domain vs. protein-tyrosine phosphatase-1B (PTP1B) assays. Although in both systems pTyr residues provide critical binding elements, significant differences in the manner of recognition

exist between the two. This is reflected in the current study, where marked variation in relative potencies was observed between the two systems. Of particular note was the poor potency of all monocarboxy-based pTyr mimetics against PTP1B when incorporated into a hexapeptide platform. The recently reported high PTP1B inhibitory potency of similar phenylphosphate mimicking moieties displayed in small mol., non-peptide structures, raises questions on the limitations of using peptides as platforms for pTyr mimetics in the discovery of small mol. inhibitors.

IT 288854-38-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(examination of novel non-phosphorus-containing phosphotyrosyl mimetics against

protein-tyrosine phosphatase-1B and demonstration of differential affinities toward ${\tt Grb2\ SH2\ domains})$

RN 288854-38-6 HCAPLUS

CN L-Leucinamide, N-acetyl-L- α -aspartyl-L-alanyl-L- α -aspartyl-L- α -glutamyl-3-carboxy-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:184222 HCAPLUS

DOCUMENT NUMBER: 130:223585

TITLE: Preparation of substituted phenylalanine derivatives

as protein tyrosine phosphatase inhibitors

INVENTOR(S): Larsen, Scott D.; May, Paul D.; Bleasdale, John;

Liljebris, Charlotta; Schostarez, Heinrich Josef;

Barf, Tjeerd

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 182 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.							DATE		APPLICATION NO.										
WO	WO 9911606 WO 9911606					A2 19990311			WO 1998-US17327										
WO							BA,		BC	ВD	ΒV	C_{Δ}	СП	CM	CII	C7	DE		
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							MR,												
CA	2298	601			A1 19990311				CA 1998-2298601						19980824				
	AU 9892010								AU 1998-92010						19980824				
AU	AU 749132					B2 20020620													
EP	EP 1019364						2000	0719	EP 1998-944476						19980824				
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JP	2001								JP 2000-508647						19980824				
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PRIORITY APPLN. INFO.:						2001	0010			997-					9970				
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$$Q = -CHN$$

$$R7$$

$$O$$

$$O$$

$$Ph$$

$$HO_{2}C$$

$$O$$

$$O$$

$$CO_{2}H$$

$$HO_{2}C$$

$$O$$

$$O$$

$$CO_{2}H$$

$$III$$

The present invention comprises title compds. I and II [G1 = R2, NR8R4; G2 AB = H, CONHR3, CH2OH, CH:CHR3; R1 = OSO3H, OCH(CO2R5)2, OCH2CO2R5, OCH(CO2R5)CH2CO2R5, O(CO2R5):CHCO2R5, CH2CH(CO2R5)2, CH:C(CO2R5)2, OCH2CONHOH, N(CH2CO2R5)2, OCHFCO2R5; R2 = C1-10 alkyl, C3-8 cycloalkyl, C0-6 alkylphenyl each substituted with 0-2 CO2R5 groups or 0-1 CONH2 groups, CHR7NHXR6, group Q; R3 = (un)substituted C1-12 alkyl, C1-4 alkyl-C3-6 cycloalkyl, C2-12 alkenyl, C3-12 alkynyl, (un)substituted C0-10 alkyl(G3)n, CH(CONH2)-C1-12 alkyl; R4 = H, C1-18 alkyl, alkenyl, C0-6alkyl-G3; R5 = H, C1-10 alkyl, C1-5 alkylphenyl; R6 = C1-10 alkyl, substituted C1-6 alkyl; R7 = H, substituted C1-6 alkyl; R8 = C0-6alkyl-G3, CHR7CO2R5, CHR7CH2CO2R5, CHR7CONHCH2COR5; G3 = (un)substituted Ph, naphthyl, heterocyclyl; R10 = H, CO2R5, CONHOH, 5-tetrazolyl, F, OCH2CO2R5; R11 = H, Me; X = CO, SO2, CO2; n = 0-3; with provisos] and pharmaceutically acceptable salts thereof, as small mol. weight, non-peptidic inhibitors of protein tyrosine phosphatase 1 (PTP1) which are useful for the treatment and/or prevention of non-insulin dependent diabetes mellitus (NIDDM). Thus, O-alkylation of N-tert-butoxycarbonyltyramine with di-Et chloromalonate, followed by acidic deprotection, amidation with 4-benzoyl-N-tert-butoxycarbonyl-L-phenylalanine, acidic deprotection, and amidation with succinic anhydride, gave desired title compound III (PNU 176073). III showed 60% inhibition of protein tyrosine phosphatase 1B at a concentration of 10 μM .

IT 221077-70-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted phenylalanine derivs. as protein tyrosine phosphatase inhibitors)

RN 221077-70-9 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-3-(methoxycarbonyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 13 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:114715 HCAPLUS

DOCUMENT NUMBER: 130:245617

TITLE: Protein Engineering: Design of Single-Residue-Anchored

Metal-Uptake Systems

AUTHOR(S): Ranganathan, Subramania; Tamilarasu, Natarajan CORPORATE SOURCE: Biomolecular Research Unit, Regional Research

Laboratory, Trivandrum, 695 019, India

SOURCE: Inorganic Chemistry (1999), 38(5), 1019-1023

CODEN: INOCAJ; ISSN: 0020-1669

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Ethylenediamine-acetylacetone mono-Schiff base (AEH), hydroxylamine hydrochloride and ethylenediamine readily condense with peptides having 3-acetyltyrosine side chains to templates having two types of structural profile with AEH, hydroxylamine hydrochloride and ethylenediamine requiring two peptide units. Oximes I (R = Bz, R1 = OMe; R = Boc-Ala, R1 = OMe, Ser-OMe) were prepared by the oximation of the corresponding 3-acetyltyrose derivs. with hydroxylamine hydrochloride, whereas Schiff bases II and III (R, R1 = same) were prepared by treating the corresponding 3-acetyltyrose derivs. with ethylenediamine-acetylacetone mono-Schiff base and ethylenediamine, resp. I, II and III were complexed with transition metals to give the corresponding complexes.

IT 158257-00-2P 158257-01-3P 221234-52-2P 221234-67-9P 221234-80-6P 221235-06-9P 221235-42-3P 221235-46-7P 221236-41-5P

221236-45-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and complexation with transition metals)

RN 158257-00-2 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 158257-01-3 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 221234-52-2 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-[[2-[(1-methyl-3-oxobutylidene)amino]ethyl]imino]ethyl]-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 221234-67-9 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 221234-80-6 HCAPLUS

CN L-Serine, 23,2'3-[1,2-ethanediylbis(nitriloethylidyne)]bis[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-L-tyrosyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

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RN 221235-06-9 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-[[2-[(1-methyl-3-oxobutylidene)amino]ethyl]imino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 221235-42-3 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 221235-46-7 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-seryl-3-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 221236-41-5 HCAPLUS

CN L-Tyrosine, 23,2'3-[1,2-ethanediylbis(nitriloethylidyne)]bis[N-[(phenylmethoxy)carbonyl]-L-alanyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

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PAGE 2-A

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RN 221236-45-9 HCAPLUS

CN L-Tyrosine, 23,2'3-[1,2-ethanediylbis(nitriloethylidyne)]bis[N-

Updated Search

[(phenylmethoxy)carbonyl]-L-seryl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A

PAGE 2-A

IT 158256-97-4P 158256-98-5P 221234-43-1P

221234-88-4P 221234-95-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and coupling with hydroxylamine or ethylenediamine or acetylacetone-ethylenediamine Schiff base followed by complexation with transition metals)

RN 158256-97-4 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 158256-98-5 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 221234-43-1 HCAPLUS

CN L-Serine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-acetyl-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221234-88-4 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-seryl-3-acetyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 221234-95-3 HCAPLUS

CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-alanyl-3-acetyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 221237-13-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reactant for preparation of acetyltyrosine oximes and Schiff bases and their transition metal complexes)

RN 221237-13-4 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 14 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:296799 HCAPLUS

DOCUMENT NUMBER: 129:50028

ORIGINAL REFERENCE NO.: 129:10331a,10334a

TITLE: A comparison of actions of neuropeptide Y (NPY)

agonists and antagonists at NPY Y1 and Y2 receptors in

anesthetized rats

AUTHOR(S): Smith-White, M.; Moriarty, M. J.; Potter, E. K. CORPORATE SOURCE: Prince of Wales Medical Research Institute, Sydney,

2031, Australia

SOURCE: Neuropeptides (Edinburgh) (1998), 32(2), 109-118

CODEN: NRPPDD; ISSN: 0143-4179

PUBLISHER: Churchill Livingstone

DOCUMENT TYPE: Journal LANGUAGE: English

The pancreatic polypeptide family includes three members, neuropeptide Y (NPY), peptide YY (PYY) and pancreatic polypeptide (PP), with sequence homol. between members and species varying from approx. 50 to 80%. Some of these peptides were compared in the mammalian cardiovascular system for activity mediated by actions on pre- (Y2) and post-junctional (Y1) NPY receptors. NPY and PYY, with sequence homol. of 67% have similar actions on Y1 and Y2 receptors. Rat pancreatic polypeptide (rPP) with sequence homol. of approx. 50% is inactive at both. This study reports that the chimeric peptide, hPP1-11/NPY12-36 and the truncated peptide NPY2-36 show similar activity to NPY mediated through both receptor types in vivo, while salmon PYY (sPYY), with 81% homol. to NPY, has improved potency at both receptor subtypes. NPY3-36 has equal activity with NPY on actions mediated through Y2 receptors, but significantly reduced activity mediated through Y1 receptors. Two NPY antagonists were also examined: PYX2 was inactive in vivo and 1229U91 showed potent, long-lasting activity on Y1 receptor-mediated effects.

IT 146999-93-1, PYX-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(neuropeptide Y agonists and antagonists at neuropeptide Y1 and Y2 receptors in anesthetized rats)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-isoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-L-glutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:414784 HCAPLUS

DOCUMENT NUMBER: 127:130543

ORIGINAL REFERENCE NO.: 127:25021a,25024a

TITLE: Toward antibody-directed enzyme prodrug therapy with

the T268G mutant of human carboxypeptidase A1 and

novel in vivo stable prodrugs of methotrexate

AUTHOR(S): Smith, Gary K.; Banks, Sheila; Blumenkopf, Todd A.;

Cory, Michael; Humphreys, Joan; Laethem, Ronald M.; Miller, John; Moxham, Cary P.; Mullin, Robert; Ray, Paul H.; Walton, Leslie M.; Wolfe, Lawrence A., III

CORPORATE SOURCE: Glaxo Wellcome Inc., Research Triangle Park, NC,

27709, USA

SOURCE: Journal of Biological Chemistry (1997), 272(25),

15804-15816

CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular

LANGUAGE:

DOCUMENT TYPE:

Biology Journal English

Antibody-directed enzyme prodrug therapy (ADEPT) has the potential of greatly enhancing antitumor selectivity of cancer therapy by synthesizing chemotherapeutic agents selectively at tumor sites. This therapy is based upon targeting a prodrug-activating enzyme to a tumor by attaching the enzyme to a tumor-selective antibody and dosing the enzyme-antibody conjugate systemically. After the enzyme-antibody conjugate is localized to the tumor, the prodrug is then also dosed systemically, and the previously targeted enzyme converts it to the active drug selectively at the tumor. Unfortunately, most enzymes capable of this specific, tumor site generation of drugs are foreign to the human body and as such are expected to raise an immune response when injected, which will limit their repeated administration. The authors reasoned that with the power of crystallog., mol. modeling and site-directed mutagenesis, this problem could be addressed through the development of a human enzyme that is capable of catalyzing a reaction that is otherwise not carried out in the human body. This would then allow use of prodrugs that are otherwise stable in vivo but that are substrates for a tumor-targeted mutant human enzyme. The authors report here the first test of this concept using the human enzyme carboxypeptidase A1 (hCPA1) and prodrugs of methotrexate (MTX). Based upon a computer model of the human enzyme built from the well known crystal structure of bovine carboxypeptidase A, the authors have designed and synthesized novel bulky phenylalanine- and tyrosine-based prodrugs of MTX that are metabolically stable in vivo and are not substrates for wild type human carboxypeptidases A. Two of these analogs are MTX- α -3-cyclobutylphenylalanine and $MTX-\alpha-3$ -cyclopentyltyrosine. also based upon the computer model, the authors have designed and produced a mutant of human carboxypeptidase A1, changed at position 268 from the wild type threonine to a glycine (hCPA1-T268G). This novel enzyme is capable of using the in vivo stable prodrugs, which are not substrates for the wild type hCPA1, as efficiently as the wild type hCPA1 uses its best substrates (i.e. $MTX-\alpha$ -phenylalanine). Thus, the kcat/Km values for hCPA1 with MTX- α -phenylalanine is 0.44 μ M-1 s-1, and kcat/Km values for hCPA1-T268G with MTX- α -3-cyclobutylphenylalanine and MTX- α -3-cyclopentyltyrosine are 1.8 and 0.16 μ M-1 s-1, resp. The cytotoxic efficiency of hCPA1-T268G was tested in an in vitro ADEPT model. For this experiment, hCPA1-T268G was chemical conjugated to ING-1, an antibody that binds to the tumor antigen Ep-Cam, or to Campath-1H, an antibody that binds to the T and cell antigen CDw52. These conjugates were than incubated with HT-29 human colon adenocarcinoma cells (which express Ep-Cam but not the Campath 1H antigen) followed by incubation of the cells with the in vivo stable prodrugs. The results showed that the targeted ING-1:hCPA1-T268G conjugate produced excellent activation of the MTX prodrugs to kill HT-29 cells as efficiently as MTX itself. By contrast, the enzyme-Cam-path 1H conjugate was without effect. These data strongly support the feasibility of ADEPT using a mutated human enzyme with a single amino acid change.

RN 167549-67-9 HCAPLUS

CN L-Tyrosine, N-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L- α -glutamyl-3-carboxy- (9CI) (CA INDEX NAME)

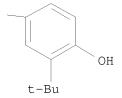
Absolute stereochemistry.

PAGE 1-B

RN 167551-08-8 HCAPLUS

CN L-Tyrosine, N-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L- α -glutamyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

PAGE 1-B



L16 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:345720 HCAPLUS

DOCUMENT NUMBER: 127:50981

ORIGINAL REFERENCE NO.: 127:9733a,9736a

TITLE: Unexpected lability of cysteine acetamidomethyl thiol

protecting group. Tyrosine ring alkylation and

disulfide bond formation upon acidolysis

AUTHOR(S): Engebretsen, May; Agner, Erik; Sandosham, Jessie;

Fischer, Peter M.

CORPORATE SOURCE: Nycomed Pharma AS, Oslo, Norway

SOURCE: Journal of Peptide Research (1997), 49(4), 341-346

CODEN: JPERFA; ISSN: 1397-002X

PUBLISHER: Munksgaard
DOCUMENT TYPE: Journal
LANGUAGE: English

Cleavage and deprotection of H-Asn-Gly-Gly-Cys(Acm)-Glu(OBu-t)-Gln-Tyr(Bu-t)-Cys(Acm)-Ser(Bu-t)-Asp(OBu-t)-[(p-alkoxy)benzyloxy polystyrene resin]

(Acm = acetamidomethyl) using standard conditions with various trifluoroacetic acid-containing mixts. were found to result in partial removal of the ordinarily acid-stable S-Acm groups. Apart from the desired peptide

H-Asn-Gly-Gly-Cys(Acm)-Glu-Gln-Tyr-Cys(Acm)-Ser-Asp-OH, a disulfide-cyclic peptide derivative was also isolated. The peptide resin cleavage led to another major byproduct where the tyrosine side chain had been alkylated by an Acm group in a position ortho to the phenolic function. The formation of both byproducts could be suppressed by carrying out the cleavage/deprotection step at higher dilution and by inclusion of scavengers such as phenol. Oxidation of H-Asn-Gly-Gly-Cys-Glu-Gln-Tyr-Cys-Ser-Asp-OH by Ellman's reagent gave an authentic sample of the disulfide-cyclic peptide.

IT 191155-91-6P

RL: BYP (Byproduct); PREP (Preparation)
 (unexpected acid lability of the protecting group acetamidomethyl in
 cysteine-containing peptides)

RN 191155-91-6 HCAPLUS

CN L-Aspartic acid, L-asparaginylglycylglycyl-S-[(acetylamino)methyl]-L-cysteinyl-L- α -glutamyl-L-glutaminyl-3-[(acetylamino)methyl]-L-tyrosyl-S-[(acetylamino)methyl]-L-cysteinyl-L-seryl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

__NHAc

__ NH2

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:448613 HCAPLUS

DOCUMENT NUMBER: 125:133035

ORIGINAL REFERENCE NO.: 125:24653a,24656a

TITLE: Characterization of the peptide binding requirements

for the cloned human pancreatic polypeptide-preferring

receptor

AUTHOR(S): Gehlert, Donald R.; Schober, Douglas A.; Beavers,

Lisa; Gadski, Robert; Hoffman, James A.; Smiley, David

L.; Chance, Ronald E.; Lundell, Ingrid; Larhammar, Dan CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly and Company, Indianapolis,

IN, 46285, USA

SOURCE: Molecular Pharmacology (1996), 50(1), 112-118

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: Williams & Wilkins

DOCUMENT TYPE: Journal LANGUAGE: English

Traditionally, neuropeptide Y (NPY) receptors have been divided into Y1 and Y2 subtypes based on peptide pharmacol. and synaptic localization. Other receptor subtypes have been proposed based on preferences for NPY, peptide YY (PYY), or pancreatic polypeptide (PP). Recently, we discovered a novel human membrane of this receptor family exhibiting high affinity for PP and PYY. In the current study, we expressed a DNA clone encoding this human PP-preferring receptor [hPP1 (or Y4)] in Chinese hamster ovary cells and performed a peptide structure-activity study. [1251]pPYY bound to homogenates of hPP1-Chinese hamster ovary cells with a Kd of 0.064 nM and a Bmax of 244 fmol/mg protein. Human PP inhibited binding with a Ki of 0.023 nM, whereas human PYY (Ki = 0.31 nm) and human NPY (Ki = 12 nM) were significantly less potent. Rat, porcine, and bovine PP inhibited binding with similar affinities to human PP, whereas avian PP was substantially less potent (K1 = 1 nM). Deletion of the first four amino acids reduced the affinity of bovine PP to 1 nM. Carboxyl-terminal fragments of NPY and PYY also had reduced potency compared with the native peptides. In addition, deletion of Tyr36-amide produced a substantial reduction

in affinity. Pro34-substituted NPY and PYY had modestly increased affinity compared with the native peptides, although Gln34-bPP had similar affinity compared with bovine PP. The carboxyl-terminally derived Y1 antagonist 1229U91 was a very potent (Ki = 0.042 nM) inhibitor of binding to hPP1. Thus, the carboxyl-terminal region of PP seems to be the most important part of the peptide for high affinity binding to hPP1. A few key residues (amino acids 2 and 3) in the amino-terminal region of PP contribute to the high affinity of the native peptide. Thus, features required for peptide recognition by the hPP1 receptor seem to be distinct from the Y1 and Y2 receptor.

IT 146999-93-1

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(peptide binding domain characterization in cloned human pancreatic polypeptide-preferring receptor)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-isoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-L-glutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

L16 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:80798 HCAPLUS

DOCUMENT NUMBER: 124:233124

ORIGINAL REFERENCE NO.: 124:43215a,43218a

TITLE: Syntheses of fluoroalkyl derivatives of two

biologically active oligopeptides

AUTHOR(S): Li, Rui-Qing; Huang, Wei-Yuan

CORPORATE SOURCE: Shanghai Inst. Org. Chem., Chinese Acad. Sci.,

Shanghai, 200032, Peop. Rep. China

SOURCE: Chinese Journal of Chemistry (1995), 13(6), 558-64

CODEN: CJOCEV; ISSN: 1001-604X

PUBLISHER: Science Press

DOCUMENT TYPE: Journal LANGUAGE: English

AB Fluoroalkyl derivs. of two biol. active oligopeptides,

[(m-CF3)Tyr1]-Leu-enkephalin, [(m-CF2CF2Cl)Tyr1]-Leu-enkephalin, and [(m-COCF2Cl)Tyr2]-MSH releasing hormone have been synthesized. Studies on the activities of these peptides are underway.

IT 174748-41-5P 174748-42-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fluoroalkyl enkephalin and MSH releasing hormone derivs.)

RN 174748-41-5 HCAPLUS

CN L-Asparagine, N2-[N2-[N-[3-(chlorodifluoroacetyl)-N-[N-[(1,1-dimethylethoxy)carbonyl]-S-(phenylmethyl)-L-cysteinyl]-L-tyrosyl]-L-isoleucyl]-L-glutaminyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 174748-42-6 HCAPLUS

CN L-Asparagine, N2-[N2-[N-[3-(chlorodifluoroacetyl)-N-[S-(phenylmethyl)-L-cysteinyl]-L-tyrosyl]-L-isoleucyl]-L-glutaminyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

09890219

IT 174748-43-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

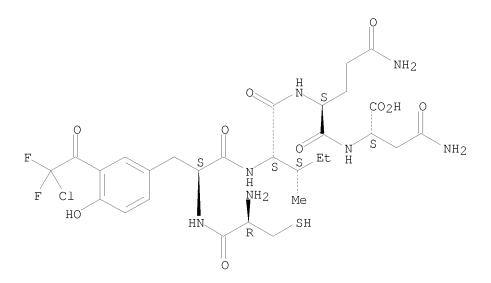
(preparation of fluoroalkyl enkephalin and MSH releasing hormone derivs.)

RN 174748-43-7 HCAPLUS

 $\label{eq:cn_loss} \text{CN} \qquad \text{L-Asparagine, N2-[N2-[N-[3-(chlorodifluoroacetyl)-N-L-cysteinyl-L-tyrosyl]-new} \\ \text{CN} \qquad \text{L-Asparagine, N2-[N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyl]-new} \\ \text{L-Asparagine, N2-[N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyl]-new} \\ \text{L-Asparagine, N2-[N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyl]-new} \\ \text{L-Asparagine, N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyl]-new} \\ \text{L-Asparagine, N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyl]-new} \\ \text{L-Asparagine, N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyll-new} \\ \text{L-Asparagine, N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyll-new} \\ \text{L-Asparagine, N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyll-new} \\ \text{L-Asparagine, N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-L-tyrosyll-new} \\ \text{L-Asparagine, N2-[N-[3-(chlorodifluoroacetyl]-N-L-cysteinyl-new} \\ \text{L-Asparagine, N2-[N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-L-cysteinyl-new} \\ \text{L-Asparagine, N2-[N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-L-cysteinyl-new} \\ \text{L-Asparagine, N2-[N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-L-cysteinyl-new} \\ \text{L-Asparagine, N2-[N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-L-cysteinyl-new} \\ \text{L-Asparagine, N2-[N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-[3-(chloroacetyl]-N-[3-(chloroac$

L-isoleucyl]-L-glutaminyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L16 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:56084 HCAPLUS

DOCUMENT NUMBER: 124:117998

ORIGINAL REFERENCE NO.: 124:22004h,22005a

TITLE: Preparation of cyclopeptide amides having serum

calcium-lowering activity

INVENTOR(S): Kuzuki, Shigeo; Sato, Hirotoshi; Yamada, Hitoshi

PATENT ASSIGNEE(S): Asahi Chemical Ind, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 07228599 PRIORITY APPLN. INFO.:	A	19950829	JP 1994-208543 JP 1994-208543 JP 1993-326507	19940901 19940901 19931224		
OBUIED COUDCE (C)	117 D D 7 M	101 117000				

OTHER SOURCE(S): MARPAT 124:117998

GI

A1-A2-Leu-A3-Thr-Asu-Val-Leu-Gly-A4-Leu-Ser-Gln-Glu-A5-A6-

A⁷-Leu-Gln-Thr-A⁸-Pro-Arg-Thr-A⁹-Val-Gly-Ala-Gly-Thr-Pro-NH₂

Ι

III

Hypocalcemic cyclic peptide amides [I; A1, A3 = D- or L-Ser; A2 = Asn, AΒ Asp; A4, A7 = Lys, Lys(Ac); A5 = D- or L-Leu, D-Leu-Lys-Leu-Ser-Gln-Glu; A6 = His, His(Me); A8 = Tyr, 3-(3,5-dichlorobenzyl)-Tyr; A9 = Asp, β -Asp; excluding the compound where A1 = A9 = Asp, A2 = Asn, A3 = Ser, A4 = A7 = Lys, A5 = Leu, A6 = His, A8 = Tyr] are prepared Thus, I (A1 = D-Ser, A2 = Asn, A3 = Ser, A4 = A7 = Lys, A5 = Leu, A6 = His, A8 = Tyr, A9 = Asp) (II) was prepared by the solid phase method which involved coupling of a cyclopeptide fragment (III) with H-Lys(C1-Z)-Leu-Ser(Bz1)-Gln-Glu(OBz1)-Leu-His-Lys(C1-Z)-Leu-Gln-Thr(Bz1)-Tyr(Cl2Bzl)-Pro-Arg(Tos)-Thr(Bzl)-Asp(OBzl)-Val-Gly-Ala-Gly-Thr(Bzl)-Pro-MBHA resin (wherein C1-Z = o-chlorobenzyloxycarbonyl, C12Bz1 =2,6-dichlorobenzyl, Tos = tosyl) (preparation given) using HOBt and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in a mixture of DMF and N-methylpyrrolidone followed by resin-cleavage and deprotection with ${
m HF}\left(1\right)$ and anisole and chromatog. purification on a column of Dowex 1+2. III was 27.9-fold more potent than human calcitonin for lowering the serum Ca level in rats.

IT 172733-69-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclopeptide amides having serum calcium-lowering activity)

RN 172733-69-6 HCAPLUS

CN 1,7-Dicarbacalcitonin (eel), 1-butanoic acid-22-[3-[(3,5-dichlorophenyl)methyl]-L-tyrosine]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

PAGE 2-A

$$\begin{array}{c|c} & \text{(CH}_2)_4 - \\ & \\ \text{R-C-NH-CH-C--} \\ & \\ & \text{O} & \text{O} \end{array}$$

PAGE 2-B

PAGE 2-C

Cl

PAGE 3-A

L16 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:933848 HCAPLUS

DOCUMENT NUMBER: 124:1276
ORIGINAL REFERENCE NO.: 124:287a,290a

TITLE: Failure of the putative neuropeptide Y antagonists,

benextramine and PYX-2, to inhibit Y2 receptors in rat

isolated prostatic vas deferens

AUTHOR(S): Palea, S.; Corsi, M.; Rimland, J. M.; Trist, D. G.;

Ratti, E.

CORPORATE SOURCE: Pharmacology Department, Glaxo Research Laboratories,

Verona, 37135, Italy

SOURCE: British Journal of Pharmacology (1995), 116(5), 2401-6

CODEN: BJPCBM; ISSN: 0007-1188

PUBLISHER: Stockton
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The pharmacol. activity of neuropeptide Y (NPY) and some analogs in inhibiting the twitch contractions induced by elec. stimulation (single

pulses at 25 V, 0.15 Hz, 1 ms) in the prostatic portion of the rat

isolated vas deferens was investigated. The rank order of agonist potency

was: PYY > NPY2-36 > NPY » NPY13-36 » NPY18-36 »

[Leu31,Pro34]NPY = hPP, which is consistent with the activation of a Y2 receptor. The putative Y1 and Y2 antagonist, benextramine (BXT), incubated at 100 μM for 10 or 60 min, was ineffective against PYY-induced inhibition of the twitch response. The putative NPY antagonist, PYX-2, incubated at 1 μM for 20 min, was completely ineffective in antagonizing PYY-induced inhibition of twitches. The twitch response was totally inhibited by suramin (100 μM) but was little affected by prazosin (1 μM). Furthermore, NPY was without effect on the dose-response curve to ATP in resting conditions. Taken together, these results suggest that in our paradigm, NPY inhibits the release of a purinergic neurotransmitter which mediates contraction of the prostatic portion of the rat vas deferens.

IT 146999-93-1, PYX 2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(failure of putative neuropeptide Y antagonists, benextramine and PYX-2, to inhibit Y2 receptors in prostatic vas deferens)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-isoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-L-glutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L16 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:784968 HCAPLUS

DOCUMENT NUMBER: 123:208783

ORIGINAL REFERENCE NO.: 123:36991a,36994a

TITLE: Improvement of antibody-directed enzyme prodrug

therapy (ADEPT)

INVENTOR(S): Smith, Gary Keith; Blumenkopf, Todd Andrew; Cory,

Michael

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 247 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.								APPLICATION NO.												
WO 9513095																				
	₩:		GE, MW,	HU,	JP,	KE,	KG,	KP,	KR,	CH, KZ, RU,	LK,	LR,	LT,	LU,	LV,	MD,	MG,			
	RW:	KE, MC,						•		DK, CI,										
TD, TG																				
CA 2176024		A1 19950518				1	CA 1994-2176024						19941111							
ΑU	AU 9481488		A	A 19950529				AU 1994-81488						19941111						
ΑU	AU 688412		В2																	
ZA	ZA 9408987		A 19960513					ZA 1994-8987						19941111						
EP	EP 728018		A1	A1 19960828			EP 1995-900827						19941111							
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	2465	16			Τ					AT 1995-900827					19941111					
ES	2204	935			T3 20040501					ES 1995-900827					19941111					
US	6140				Α					US 1996-640906						19960509				
US	6319	702			В1		2001	1120		US 1999-395936					19990914					

PRIORITY APPLN. INFO.:

GB 1993-23429 A 19931112 WO 1994-GB2483 W 19941111 US 1996-640906 A1 19960509

OTHER SOURCE(S): MARPAT 123:208783

The present invention relates to improvements in targetted enzyme prodrug therapy including antibody-directed enzyme prodrug therapy (ADEPT); it particularly relates to novel enzymes and prodrugs for use in ADEPT. Enzymes are targetted to specific tissues; prodrugs located at the site are converted into cytotoxic products. Thus, a single conjugate could generate a proportionately larger amount of cytotoxic drug at the target site (by repeated rounds of enzymatic catalysis of prodrug activation) than would occur in targetting of the prodrug itself. The enzyme used should be a mutant capable of catalyzing the conversion of the prodrug into the active cytotoxin, and the prodrug should be refractory to endogenous catalysis by the wild-type form of the enzyme. Thus, the kcat/Km value with wild-type human carboxypeptidase A1 for N-(4-(((2,4-diamino-6-pteridinyl)methyl)methylamino)benzoyl)-L-glutam-1-yl-3tert-butyl-L-phenylalanine was not measurable, but with a mutant (268 Thr→Gly) carboxypeptidase A1 the prodrug became an excellent substrate.

IT 167551-08-8P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(improvement of antibody-directed enzyme prodrug therapy (ADEPT))

RN 167551-08-8 HCAPLUS

CN L-Tyrosine, N-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L- α -glutamyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

PAGE 1-B

Absolute stereochemistry.

RN 167549-60-2 HCAPLUS CN L-Tyrosine, N-L- α -glutamyl-3-(methoxycarbonyl)-, dimethyl ester (9CI) (CA INDEX NAME)

RN 167549-61-3 HCAPLUS

CN L-Tyrosine, N-[N-[4-[[(1,2-dihydro-3-methyl-1-oxobenzo[f]quinazolin-9-yl)methyl]amino]-2-fluorobenzoyl]-L- α -glutamyl]-3-(methoxycarbonyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

RN 167549-62-4 HCAPLUS

CN L-Tyrosine, 3-carboxy-N-[N-[4-[[(1,2-dihydro-3-methyl-1-oxobenzo[f]quinazolin-9-yl)methyl]amino]-2-fluorobenzoyl]-L- α -glutamyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

RN 167549-64-6 HCAPLUS

CN L-Tyrosine, 3-[(1,1-dimethylethoxy)carbonyl]-N-[N-[(phenylmethoxy)carbonyl]-L- α -glutamyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167549-65-7 HCAPLUS

CN L-Tyrosine, 3-[(1,1-dimethylethoxy)carbonyl]-N-L- α -glutamyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167549-66-8 HCAPLUS

CN L-Tyrosine, N-[N-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L- α -glutamyl]-3-[(1,1-

dimethylethoxy) carbonyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 167549-67-9 HCAPLUS

CN L-Tyrosine, N-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L- α -glutamyl-3-carboxy- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 167551-05-5 HCAPLUS

CN L-Tyrosine, 3-(1,1-dimethylethyl)-N-[N-[(phenylmethoxy)carbonyl]-L- α -glutamyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 167551-06-6 HCAPLUS

CN L-Tyrosine, 3-(1,1-dimethylethyl)-N-L- α -glutamyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 167551-07-7 HCAPLUS

CN L-Tyrosine, N-[N-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L- α -glutamyl]-3-(1,1-dimethylethyl)-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 167551-13-5 HCAPLUS

CN L-Tyrosine, N-L- α -glutamyl-3-(methoxycarbonyl)-, dimethyl ester,

Updated Search

monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 167551-15-7 HCAPLUS

CN L-Tyrosine, 3-[(1,1-dimethylethoxy)carbonyl]-N-L- α -glutamyl-, bis(1,1-dimethylethyl) ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

L16 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:177456 HCAPLUS

DOCUMENT NUMBER: 122:204915

ORIGINAL REFERENCE NO.: 122:37149a,37152a

TITLE: Putative neuropeptide Y antagonist failed to decrease

overeating in obese Zucker rats

AUTHOR(S): Beck, Bernard; Stricker-Krongrad, Alain; Musse,

Nadine; Nicolas, Jean-Pierre; Burlet, Claude

INSERM U.308, Mecanismes de Regulation du Comportement Alimentaire, 38 rue Lionnois, Nancy, 54000, Fr.

SOURCE: Neuroscience Letters (1994), 181(1-2), 126-8

CORPORATE SOURCE:

CODEN: NELED5; ISSN: 0304-3940

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A central dysregulation of several neuropeptides could be at the origin of the marked hyperphagia of the obese Zucker rat, a well-known animal model used for the study of obesity. Neuropeptide Y (NPY), which stimulates food intake and increases early in life in obese rats, plays a major role in the development of this hyperphagia. The aim of our experiment was to test a proposed NPY antagonist namely PYX-2 in obese hyperphagic Zucker rats to know if it could be an interesting drug for limiting their food intakes. Four doses of PYX-2 (50-1000 pmol) were injected in a counterbalanced order in the lateral brain ventricles of 10 adult male Zucker rats. Food intake was recorded 0.5, 1, 2, 3, 6, and 23 h after PYX-2 injection and compared either to the rat's spontaneous food intake or to the food intake following injection of artificial CSF (vehicle) only. It was not modified by any dose of PYX-2 whatever the time considered $(\bar{1}\ h\ after\ injection$: 4.3 (1000 pmol) vs. 4.6 g; 23 h period: 27.0 (1000 pmol) vs. 26.6 g; N.S.). Thus, PYX-2, the putative NPY antagonist, totally failed to inhibit food intake in the obese rats. The absence of effect of PYX-2 on food intake can be explained by the structure of PYX-2, a modified 27-36 amino acid sequence that may not be recognized by the Y1-type NPY receptors which are involved in the regulation of feeding behavior. 146999-93-1, PYX-2 ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(putative neuropeptide Y antagonist PYX-2 failed to decrease overeating in obese Zucker rats)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-isoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-L-glutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L16 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

1994:631312 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 121:231312

ORIGINAL REFERENCE NO.: 121:42203a,42206a

The crafting of peptide segments with CuII uptake TITLE:

potential

AUTHOR(S):

Ranganathan, Subramania; Tamilarasu, Natarajan Dep. Chem., Indian Inst. Technol., Kanpur, 208 016, CORPORATE SOURCE:

India

SOURCE: Tetrahedron Letters (1994), 35(3), 447-50

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:231312

GΙ

AB Ethylenediamine-acetylacetone mono-Schiff base (AEH) and hydroxylamine hydrochloride readily condense with peptides having 3-acetyltyrosine side chains to templates having two types of structural profile with AEH having independent CuII uptake potential and with hydroxylamine hydrochloride requiring two peptide units. Oximes I (R = Bz, R1 = OMe; R = Boc-Ala, R1 = OMe, Ser-OMe) were prepared by the oximation of the corresponding 3-acetyltyrose derivs. With hydroxylamine hydrochloride, whereas Schiff bases II (R, R1 = same) were prepared by treating the corresponding 3-acetyltyrose derivs. With ethylenediamine-acetylacetone mono-Schiff base. I and II were complexed with Cu(OAc)2 to give copper complexes III and IV, resp.

IT 158257-00-2P 158257-01-3P 158257-03-5P 158257-04-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and complexation of, with copper)

RN 158257-00-2 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 158257-01-3 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-[1-(hydroxyimino)ethyl]-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 158257-03-5 HCAPLUS

CN L-Tyrosine, N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-3-[1-[[2-[(3-hydroxy-1-methyl-2-butenylidene)amino]ethyl]imino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 158257-04-6 HCAPLUS

CN L-Serine, N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-3-[1-[[2-[(3-hydroxy-1-methyl-2-butenylidene)amino]ethyl]imino]ethyl]-L-tyrosyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

IT 158256-97-4P 158256-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oximation of)

RN 158256-97-4 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 158256-98-5 HCAPLUS

CN L-Serine, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-3-acetyl-L-tyrosyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L16 ANSWER 24 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:290690 HCAPLUS

DOCUMENT NUMBER: 120:290690

ORIGINAL REFERENCE NO.: 120:51027a,51030a

TITLE: Inhibitory effect of neuropeptide Y and its analogs on

inositol 1,4,5-trisphosphate level in rat

cardiomyocytes

AUTHOR(S): Xiang, Hong; Brown, John C.

CORPORATE SOURCE: Dep. Physiol., Univ. British Columbia, Vancouver, BC,

V6T 1Z3, Can.

SOURCE: Receptors and Channels (1993), 1(4), 315-21

CODEN: RCHAE4; ISSN: 1060-6823

DOCUMENT TYPE: Journal LANGUAGE: English

AR A neg. inotropic effect of neuropeptide Y (NPY) in the mammalian heart has been reported. The mechanism(s) involved in the action of NPY in the heart is still unclear. Since D-myo-inositol 1,4,5-trisphosphate [Ins(1,4,5)P3] is known to be an important second messenger in the regulation of cardiac function, the authors carried out a study to investigate the status of Ins(1,4,5)P3 levels in response to NPY stimulation in rat cardiomyocytes. The authors also studied the possible involvement of NPY receptor subtypes in Ins(1,4,5)P3 formation. [Leu31, Pro34] NPY, NPY13-36, NPY and peptide YY (PYY) induced a concentration-dependent decrease in Ins(1,4,5)P3 levels [measured with an Ins(1,4,5)P3 protein binding assay kit] in rat cardiomyocytes, which was blocked by NPY antagonists NPY18-36 or PYX-2. There is no difference in the inhibitory effect of NPY and PYY on Ins(1,4,5)P3 formation. Furthermore, effects of NPY and its analogs were insensitive to pertussis toxin pretreatment. Two new and more specific Y2 receptor agonists, [Ahx5-24]NPY and [Ahx5-24, γ -Glu2- ε -Lys30]NPY, produced similar effects as NPY13-36 on Ins(1,4,5)P3 formation. These observations indicate that Y1 and Y2 subtypes of NPY receptor in rat cardiomyocytes may be associated with Ins(1,4,5)P3 formation through a pertussis-toxin-insensitive Gq protein. The decreased Ins(1,4,5)P3 formation may be implicated in the neg. inotropic effect of NPY in the heart.

IT 146999-93-1, PYX-2

RL: BIOL (Biological study)

(neuropeptide Y-inhibited inositol triphosphate formation in response to, in heart)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-Lisoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-Lglutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L16 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:184241 HCAPLUS

DOCUMENT NUMBER: 118:184241

ORIGINAL REFERENCE NO.: 118:31403a,31406a

Blockade of natural and neuropeptide Y-induced TITLE:

carbohydrate feeding by a receptor antagonist PYX-2

AUTHOR(S): Leibowitz, Sarah F.; Xuereb, Mark; Kim, Taewan CORPORATE SOURCE: Rockefeller Univ., New York, NY, 10021, USA

SOURCE: NeuroReport (1992), 3(11), 1023-6

CODEN: NERPEZ; ISSN: 0959-4965

DOCUMENT TYPE: Journal LANGUAGE: English

09890219

AB Neuropeptide Y (NPY) injected into the paraventricular nucleus (PVN) of rats has a potent stimulatory effect specifically on carbohydrate intake. This study examined the behavioral effects of a newly synthesized NPY antagonist, PYX-2. After PVN injection of PYX-2 (50-900 pmoles) alone, a strong dose-dependent reduction in spontaneous carbohydrate intake at the onset of the dark cycle was observed in freely-feeding rats. Moreover, at even lower doses (12.5 and 25.0 pmoles), PYX-2 also blocked the stimulatory action of PVN NPY (100 pmoles) on carbohydrate ingestion. These results provide the first evidence for the existence of endogenous NPY receptors in mediating the action of exogenous NPY in the hypothalamus. They also constitute a crucial step in demonstrating a physiol. function of these PVN NPY receptors specifically in controlling carbohydrate ingestion at the onset of the natural feeding cycle.

IT 146999-93-1

RL: BIOL (Biological study)

(carbohydrate appetite suppression by paraventricular nucleus administration of)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-isoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-L-glutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

L16 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:207925 HCAPLUS

DOCUMENT NUMBER: 116:207925

ORIGINAL REFERENCE NO.: 116:35027a,35030a

TITLE: Synthesis of receptor antagonists of neuropeptide Y
AUTHOR(S): Tatemoto, Kazuhiko; Mann, Michael J.; Shimizu, Meikyo
CORPORATE SOURCE: Sch. Med., Stanford Univ., Stanford, CA, 94305, USA
Proceedings of the National Academy of Sciences of the

United States of America (1992), 89(4), 1174-8

CODEN: PNASA6; ISSN: 0027-8424

NT TYPE: Journal

DOCUMENT TYPE: Journal LANGUAGE: English

AB The authors report the synthesis of receptor antagonists of neuropeptide Y (NPY) by a strategy based on synthesis of mixts. of analogs and the subsequent isolation and identification of receptor antagonists from these mixts. After screening a series of mixts. of NPY analogs by using an NPY antagonist assay, two potent receptor antagonists, designated PYX-1 and PYX-2, were isolated from an antagonist-containing mixture. The receptor antagonists inhibited release of intracellular calcium elicited by NPY in human erythroleukemia cells and displaced 3H-labeled NPY from NPY receptors in rat brain membrane. The approach of screening and identifying useful analogs from synthetic mixts. may significantly reduce the time and resources previously required for development of receptor antagonists.

IT 146999-93-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and neuropeptide Y antagonist activity of)

RN 146999-93-1 HCAPLUS

CN L-Tyrosinamide, N-acetyl-3-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-isoleucyl-L-asparaginyl-L-leucyl-L-isoleucyl-D-threonyl-L-arginyl-L-glutaminyl-L-arginyl-3-[(2,6-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

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L16 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:805 HCAPLUS

DOCUMENT NUMBER: 112:805

ORIGINAL REFERENCE NO.: 112:151a,154a

TITLE: Changes in residues 1 and 4 of angiotensin II affect differently its agonist and tachyphylactic properties

AUTHOR(S): Shimuta, S. I.; Nakaie, C. R.; Paiva, A. C. M.;

Cordopatis, P.; Theodoropoulos, D.

CORPORATE SOURCE: Dep. Biophys., Esc. Paul. Med., Sao Paulo, BR-04034,

Brazil

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1989),

340(3), 309-13

CODEN: NSAPCC; ISSN: 0028-1298

DOCUMENT TYPE: Journal LANGUAGE: English

AB Two series of angiotensin II analogs with modifications at positions 1 or 4 of the peptide chain were studied with respect to their tachyphylactic properties and to the kinetics of relaxation of the guinea-pig ileum after a contractile response to maximally effective concns. Tachyphylaxis was

ΙT

measured by the decrease in response amplitude after 3 successive treatments (tachyphylactic index) and the relaxation rate was evaluated by the time taken for the tonus to reach half of its value at the moment of agonist washout (half relaxation time). A correlation between tachyphylactic index and half relaxation time was found for the series of position 1 analogs, but not for the position 4 analogs. For the 2 series, the half relaxation times of the tachyphylactic analogs decreased from the 1st to the 3rd of a series of successive treatments. Bulky substituents at position 1, which did not greatly affect the agonist activity, suppressed the tachyphylactic property. Evidently, the agonist and tachyphylactic properties of angiotensin II are due to its interaction resp., with an agonist site and a tachyphylaxis site on the receptor and the structural requirements for binding to the 2 sites are different. 65143-30-8

RL: BIOL (Biological study)

(ileum relaxation and tachyphylaxis response to, mol. structure in relation to)

RN 65143-30-8 HCAPLUS

CN Angiotensin II, 4-[3-(phenylmethyl)-L-tyrosine]-5-L-isoleucine- (9CI) (CA INDEX NAME)

NH₂

CO₂H

L16 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:46226 HCAPLUS

DOCUMENT NUMBER: 98:46226

ORIGINAL REFERENCE NO.: 98:6943a,6946a

TITLE: Direct detection of benzyltyrosine in peptides by mass

spectrometry under pyrolysis conditions

AUTHOR(S): Kraft, Regine; Dettmer, Rudolf; Etzold, Gerhard

CORPORATE SOURCE: Cent. Inst. Mol. Biol., Ger. Acad. Sci., Berlin-Buch,

DDR-1115, Ger. Dem. Rep.

SOURCE: Pept., Proc. Eur. Pept. Symp., 16th (1981), Meeting

Date 1980, 694-9. Editor(s): Brunfeldt, K. Scriptor:

Copenhagen, Den. CODEN: 48NWA3

DOCUMENT TYPE: Conference LANGUAGE: English

AB The sample was placed at the quartz tip of the direct insertion probe of the mass spectrometer and the temperature was increased stepwise from 250 to

300°. 3-Benzyltyrosine was detected by the high-intensity peak at

 $\mbox{m/z}$ 197. Characteristic pyrolysis fragments in the mass spectra of the

decapeptide Gn-RH and insulin heptapeptide B24-B20 and their

3-benzyltyrosine derivs. are given.

IT 84167-14-6

RL: ANST (Analytical study)

(benzyltyrosine detection in, by pyrolysis mass spectrometry)

RN 84167-14-6 HCAPLUS

CN Luteinizing hormone-releasing factor (swine),

5-[3-(phenylmethyl)-L-tyrosine]- (9CI) (CA INDEX NAME)

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PAGE 1-B

L16 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1981:66004 HCAPLUS

DOCUMENT NUMBER: 94:66004

ORIGINAL REFERENCE NO.: 94:10781a,10784a

TITLE: ${\tt NG-Mesitylene-2-sulfonylarginine}$

AUTHOR(S): Takeyama, Masaharu; Koyama, Kaname; Yajima, Haruaki CORPORATE SOURCE: Fac. Pharm. Sci., Kyoto Univ., Kyoto, 606, Japan

SOURCE: Peptide Chemistry (1979), Volume Date 1978, 16th, 1-4

CODEN: PECHDP; ISSN: 0388-3698

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

AB R-Arg-OH [R = PhCH2O2C, Me3CO2C, p-MeOC6H4CH2O2C [Z(OMe)]] were treated with Mts-Cl (Mts = mesitylene-2-sulfonyl) to give R-Arg(Mts)-OH, which were R-deblocked to give H-Arg(Mts)-OH (I). The Mts group of I was stable to CF3CO2H, 1N NaOH, 80% NH2NH2, and 1-hydroxybenzotriazole, but it was cleaved by HF, CH3SO3H (MSA), and CF3SO3H. Z(OMe)-Ile-Tyr-Arg(Mts)-OH (II) was cleaved by MSA/anisole to give H-Ile-Tyr-Arg-OH along with side products H-Tyr(Mts)-Arg-OH and peptide III. More efficient scavenger systems were treated for the deblocking of II by MSA; phenolic compds. (e.g., o-cresol) improved the suppression of the side reactions of the Mts group.

IT 76378-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as side product in deblocking of (mesitylenesulfonyl)arginine-containing tripeptide derivative)

RN 76378-98-8 HCAPLUS

CN L-Arginine, N2-[N-L-isoleucyl-3-[(4-methoxyphenyl)methyl]tyrosyl]- (9CI) (CA INDEX NAME)

09890219

L16 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:129313 HCAPLUS

DOCUMENT NUMBER: 92:129313

ORIGINAL REFERENCE NO.: 92:21107a,21110a

TITLE: The synthesis of five heptapeptide analogs by the

solid-phase technique. Side reactions of tyrosyl and

glutamyl residues

AUTHOR(S): Soerup, Per; Braae, Hanne; Villemoes, Preben;

Christensen, Thorkild

CORPORATE SOURCE: H. C. Oersted Inst., Univ. Copenhagen, Copenhagen,

DK-2100, Den.

SOURCE: Acta Chemica Scandinavica, Series B: Organic

Chemistry and Biochemistry (1979), B33(9), 653-63

CODEN: ACBOCV; ISSN: 0302-4369

DOCUMENT TYPE: Journal LANGUAGE: English

AB H-Tyr-X-X1-X2-Ala-Ala-Gly-OH (X-X1-X2 = Glu-Glu-Tyr, Tyr-Glu-Glu, Glu-Tyr-Glu, Glu-Tyr-Tyr, Glu-Glu-Glu) were prepared by the solid-phase

method using an automated synthesizer and coupling and α -amino

deblocking steps were monitored by potentiometric titrns. with perchloric acid. The formation of N-terminal pyroglutamyl peptide side products during coupling steps were followed by titration Side products were also formed which contained 3-benzyltyrosine residues resulting from an O

 \rightarrow C rearrangement of O-benzyltyrosine residues during cleavage.

IT 73045-08-6P

RL: PRP (Properties); PREP (Preparation)

(formation and mass spectrum of)

RN 73045-08-6 HCAPLUS

CN Glycine, N-[N-[N-[3-(phenylmethyl)-N-[N-(N-L-tyrosyl-L- α -glutamyl)-L- α -glutamyl]-L-alanyl]-L-alanyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:551723 HCAPLUS

DOCUMENT NUMBER: 91:151723

ORIGINAL REFERENCE NO.: 91:24369a,24372a

TITLE: Angiotensin II analogs. 14. Roles of the imidazole

nitrogens of position-6 histidine in pressor activity Hsieh, Kun-Hwa; Jorgensen, Eugene C.; Lee, Thomas C. AUTHOR(S): CORPORATE SOURCE:

Sch. Pharm., Univ. California, San Francisco, CA,

94143, USA

SOURCE: Journal of Medicinal Chemistry (1979), 22(10),

1199-206

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

Replacement of the position-6 histidine residue in [Asn1, Ile5] angiotensin II produced analogs with pressor activities in the rat (compared to [Asn1, Val5] angiotens in II [53-73-6] = 100%) as follows:6-(2,4-diaminobutyric acid)- [60317-98-8], 0.02%;

6-(4-nitrophenylalanine)- [71239-97-9], 0.02%;

 $6-(\beta-(2-imidazolyl)-D-\alpha-alanine)-[71239-98-0], 0.04%;$

 $6-(\beta-(2-\text{pyridyl})-L-\alpha-\text{alanine})-[60317-99-9], 6.5%;$

 $6-(\beta-(2-pyridy1)-D-\alpha-alanine)-[60318-00-5], 0.4$ %. Tyr(6-Bzl)4, Ile5, Phe(1-NO2)6] angiotensin II [71262-84-5] was

isolated as a side product in the HF-deprotection reaction and was shown to possess 0.03% pressor activity. Incorporation of the racemic

 $N\alpha$ -butyloxycarbonyl-Nim-benzyl- β -(2-imidazoyl)-DL- α -

alanine into the peptide and separation of the resultant diastereomeric angiotensin II by countercurrent distribution eliminated the need for the laborious resolution and protection of the L isomer, which might racemize extensively during peptide synthesis. Correlation of the chemical structures with biol. activities of position-6 analogs suggests that the heterocyclic N atoms of histidine are important for angiotensin II to be recognized by the receptor, and the pros-pyridine N of histidine plays a minor role and the tele-pyrrole N a major role in this interaction.

ΙT 71262-84-5P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and vasopressor activity of)

71262-84-5 HCAPLUS RN

CN Angiotensin II, 1-L-asparagine-4-[3-(phenylmethyl)-L-tyrosine]-5-Lisoleucine-6-(4-nitro-L-phenylalanine)- (9CI) (CA INDEX NAME)

CO₂H

AUTHOR(S):

L16 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:502562 HCAPLUS

DOCUMENT NUMBER: 91:102562

ORIGINAL REFERENCE NO.: 91:16473a,16476a

TITLE: Angiotensin II analogs. 12. Role of the aromatic

ring of position 8 phenylalanine in pressor activity Hsieh, Kun-Hwa; Jorgensen, Eugene C.; Lee, Thomas C.

CORPORATE SOURCE: Sch. Pharm., Univ. California, San Francisco, CA,

94143, USA

SOURCE: Journal of Medicinal Chemistry (1979), 22(9), 1038-44

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

AΒ The relative contributions to pressor activity of lipophilic and aromatic character for the Ph ring of position 8 phenylalanine in [Asn1, Ile5] angiotensin II was evaluated by replacing the benzyl side chain with a variety of normal or branched aliphatic and substituted aromatic residues. A conformationally constrained analog in which the 2-aminoindane-2-carboxylic acid (Ind) [27473-62-7] replaced the phenylalanine residue was prepared to exam. the steric requirement for the aromatic ring for pressor activity. The analogs were synthesized by the solid-phase method and had the following pressor activities in the rat: aminoheptanoic acid8 [60318-02-7], 11.5%; Nle8 [60318-01-6], 7.3%; Leu8 [38027-94-0], 1.2%; Ind8 [71066-04-1], 0.1%; Phe(4-NH2)8 [71066-07-4], 52.5%; Phe(4-NO2)8 [71066-05-2], 15%; and the disubstituted analogs [Sar1, Ile5, Leu8] angiotensin II [38027-93-9], 2.5%; [des-Asp1, D-Ala2, Ile5, Leu8] angiotensin II [71066-03-0], 1.4%; [Asn, [Tyr(3-Bz1)4, Ile5, Phe(4-NO2)8] angiotens in II [70-47-3], 0.2%. In the absence of aromatic character, higher lipophilicity of the analogs resulted in higher pressor activity. However, aromaticity was more important than lipophilic character and was necessary for full activity. Steric interference, caused by a bulky substituent on the ring or by branching of the aliphatic residue, resulted in reduced potency. When the sizes of the substituents were comparable, the aromatic, π -electron-enriched analogs were more active than the π -electron-deficient analogs. The spatial orientation of the ring relative to the peptide backbone was critical for the pressor effect. The Ind8 analog was essentially lacking in pressor activity and was more

potent than the Leu8 analog as an angiotensin II antagonist, in spite of its aromatic nature. The Sarl, Leu8 analog was more potent and longer acting than Ind8 analog as an angiotensin II inhibitor. Apparently incorporation of a conformationally constrained aromatic ring in position 8 of angiotensin analogs can be an effective approach to the development of potent inhibitors with low pressor activity.

IT 71066-06-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and vasopressor activity of)

RN 71066-06-3 HCAPLUS

CN Angiotensin II, 1-L-asparagine-4-[3-(phenylmethyl)-L-tyrosine]-5-L-isoleucine-8-(4-nitro-L-phenylalanine)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

09890219

L16 ANSWER 33 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:421016 HCAPLUS

DOCUMENT NUMBER: 91:21016
ORIGINAL REFERENCE NO.: 91:3533a,3536a

TITLE: Studies on peptides. LXXX. NG-Mesitylene-2-sulfonylarginine

AUTHOR(S): Yajima, Haruaki; Takeyama, Masaharu; Kanaki, Jun;

Nishimura, Osamu; Fujino, Masahiko

CORPORATE SOURCE: Fac. Pharm. Sci., Kyoto Univ., Kyoto, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(12),

3752-7

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

AB The title compound was prepared by treating Z(OMe)-Arg-OH [Z(OMe) = p-MeOC6H4CH2O2C] with Mts-Cl (Mts = mesitylene-2-sulfonyl) and

Z(OMe)-deblocking the resulting Z(OMe)-Arg(Mts)-OH by HCl. The Mts group can be quant. cleaved by HF, MeSO3H, and CF3SO3H. During the cleavage of Mts from tyrosine-containing peptides, the phenolic OH groups were partially

mesitylenesulfonylated as a side reaction. This side reaction can be

suppressed by the addition of cation scavengers, e.g.,

anisole-thioanisole-cresol (1:1:1).

IT 70374-84-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 70374-84-4 HCAPLUS

 $\label{eq:cn_loss} \text{CN} \qquad \text{L-Arginine, N2-[N-L-isoleucyl-3-(phenylmethyl)-L-tyrosyl]- (9CI)} \qquad \text{(CA)}$

INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:45229 HCAPLUS

DOCUMENT NUMBER: 88:45229
ORIGINAL REFERENCE NO.: 88:7065a,7068a

TITLE: Effect of tyrosine ionization upon biological

activities of angiotensin II and two new peptide

analogs

AUTHOR(S): Nakaie, Clovis R.; Oshiro, Maria E. M.; Goissis,

Gilberto; Paiva, Antonio C. M.

CORPORATE SOURCE: Dep. Biophys. Physiol., Esc. Paulista Med., Sao Paulo,

Brazil

SOURCE: Biochimica et Biophysica Acta, Protein Structure

(1977), 495(1), 151-8

CODEN: BBPTBH; ISSN: 0005-2795

DOCUMENT TYPE: Journal LANGUAGE: English

AB The role of the tyrosine side-chain in the smooth muscle-contracting activity of angiotensin II [11128-99-7] was investigated by determining intrinsic activities and ED50 values of [4-(3-chlorotyrosine)]angiotensin II [65143-29-5] and [4-(3-benzyltyrosine)]angiotensin II [65143-30-8] in the isolated guinea-pig ileum and rat uterus. [4-(3-chlorotyrosine)]angiotensin II activity was compared with that of angiotensin II at different pH values, in which the ratio of their degrees of phenolic ionization varied. Deprotonation of the phenolic group hindered binding to smooth muscle cell receptors, but not triggering of the response by the hormone receptor complex. Steric hindrance by the benzyl substituent in [4-(3-benzyltyrosine)]angiotensin II decreased both receptor-binding and triggering of the response.

IT 65143-30-8

RL: BIOL (Biological study)

(biol. activity of angiotensin II in relation to)

RN 65143-30-8 HCAPLUS

CN Angiotensin II, 4-[3-(phenylmethyl)-L-tyrosine]-5-L-isoleucine- (9CI) (CA INDEX NAME)

NΗ NH2

CO₂H

L16 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1976:508979 HCAPLUS

DOCUMENT NUMBER: 85:108979

ORIGINAL REFERENCE NO.: 85:17509a,17512a

TITLE: Solid-phase synthesis of a minimally protected peptide

> fragment for the synthesis of corticotropin. Synthesis of [Lys(Tfa)15, 16, 21] - ACTH - (15-24) -

decapeptide

Suzuki, Kenji; Nitta, Kazuo AUTHOR(S):

CORPORATE SOURCE: Tohoku Coll. Pharm., Sendai, Japan

SOURCE: Annual Report of the Tohoku College of Pharmacy

(1975), 22, 47-50

CODEN: TYKNAQ; ISSN: 0495-7342

Journal DOCUMENT TYPE: LANGUAGE: Japanese

The stepwise coupling of Me3CO2C blocked amino acids onto AΒ

Me3CO2C-Pro-Resin, followed by the treatment with HF and subsequent

CM-cellulose column chromatog. gave 34% title compound,

Lys-Lys(Tfa)-Lys(Tfa)-Arg-Pro-Val-Lys(Tfa)-Val-Tyr-Pro (Tfa = COCF3), 13% depletion sequence, Lys-Lys(Tfa)-Lys(Tfa)-Arg-Pro-Val-Lys(Tfa)-Val-Tyr-

Pro, and 5% damaged sequence, Lys(Lys(Tfa)-Lys(Tfa)-Arg-Arg-Pro-Val-

Lys(Tfa)-Val-Tyr(CH2Ph)-Pro.

60345-64-4P ΙT

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 60345-64-4 HCAPLUS

L-Proline, 1-[N-[N-[N2-[N2-[N2-[N2-[N2-L-lysyl-N6-(trifluoroacetyl)-Proline]]])CN L-lysyl]-N6-(trifluoroacetyl)-L-lysyl]-L-arginyl]-L-arginyl]-L-prolyl]-Lvaly1]-N6-(trifluoroacety1)-L-lysy1]-L-valy1]-3-(phenylmethy1)-L-tyrosy1]-(9CI) (CA INDEX NAME)

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